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FILE LAST UPDATED: 10 Jun 2002 (20020610/ED)

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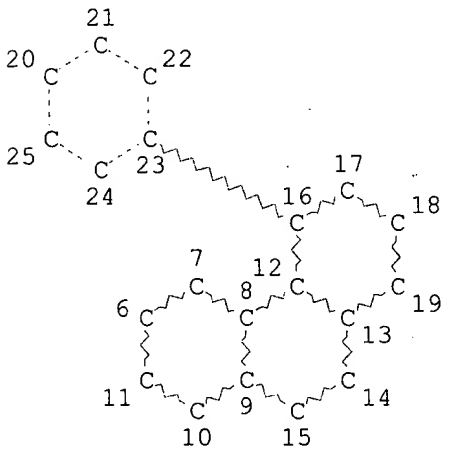
L6 STR



NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 20

STEREO ATTRIBUTES: NONE
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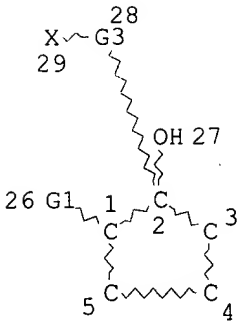
L9 STR



VAR G1=ME/ET
REP G3=(1-6) C
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 9

STEREO ATTRIBUTES: NONE
L10 74 SEA FILE=REGISTRY SUB=L8 SSS FUL L9
L11 74 SEA FILE=REGISTRY ABB=ON PLU=ON L10 NOT FULL?
L12 35 SEA FILE=HCAPLUS ABB=ON PLU=ON L11

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L12 ANSWER 1 OF 35 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:314776 HCAPLUS
DOCUMENT NUMBER: 136:330570
TITLE: Controlled release of 11b-(4-acetylphenyl)-17.beta.-hydroxy-17.alpha.-(1,1,2,2,2-pentafluoroethyl)estra-4,9-dien-3-one from a siloxane elastomer
INVENTOR(S): Lehtinen, Matti; Jukarainen, Harri; Haapakumpu, Timo; Ala-Sorvari, Juha; Ruohonen, Jarkko
PATENT ASSIGNEE(S): Leiras Oy, Finland; Lehtinen, Pirkko
SOURCE: PCT Int. Appl., 22 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002032433	A1	20020425	WO 2001-FI879	20011011

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,

BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.: US 2000-692224 A 20001020

AB The object of the invention is a delivery system for the controlled release of a therapeutically active agent 11b-(4-acetylphenyl)-17.beta.-hydroxy-17.alpha.-(1,1,2,2,2-pentafluoroethyl)estra-4,9-dien-3-one over a prolonged period of time, said system comprising a core comprising at least said therapeutically active agent, and a membrane encasing said core wherein said membrane is made of an elastomer chosen from the group consisting of a siloxane-based elastomer and a compn. comprising at least a siloxane-based elastomer. The invention is characterized in that the release rate of said therapeutically active agent is 0,1-200 .mu.g/day.

IT **211254-73-8**
RL: DEV (Device component use); PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(controlled release of 11b-(4-acetylphenyl)-17.beta.-hydroxy-17.alpha.-(1,1,2,2,2-pentafluoroethyl)estra-4,9-dien-3-one from a siloxane elastomer)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 2 OF 35 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:314775 HCAPLUS
DOCUMENT NUMBER: 136:319378
TITLE: Use of antiprogestins for the induction of apoptosis in a cell
INVENTOR(S): Hoffmann, Jens; Lichtner, Rosemarie; Siemeister, Gerd; Schneider, Martin; Fuhrmann, Ulrike
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002032432	A1	20020425	WO 2001-EP12006	20011017

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: EP 2000-250342 A 20001018
US 2000-240991P P 20001018

AB The present invention relates to methods and uses for inducing apoptosis in a cell, in particular a breast cancer cell, by the administration of antiprogestins, in particular the antiprogestin 11.beta.-(4-acetylphenyl)-17.beta.-hydroxy-17.alpha.-(1,1,2,2,2-pentafluoroeth yl)-estra-4,9-dien-3-one (I) or a pharmaceutically acceptable deriv. or analog thereof. The invention further relates to a treatment of cancer wherein an indicator of high risk is an increased amt. of tumor cells in the S-phase of the cell cycle, said treatment comprising an antiprogestin, in particular the antiprogestin 11.beta.-(4-acetylphenyl)-17.beta.-hydroxy-17.alpha.-(1,1,2,2,2-pentafluoroethyl)-estra-4,9-dien-3-one or a pharmaceutically

acceptable deriv. or analog thereof. The s.c. application of 10 mg/kg I induced apoptosis in MCF-7 breast cancer xenografts in scid mice.

IT **211254-73-8**
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(use of antiprogestins for induction of apoptosis in a cell)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 3 OF 35 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:314773 HCAPLUS
DOCUMENT NUMBER: 136:319377
TITLE: Use of antiprogestins for prophylaxis and treatment of hormone-dependent diseases such as breast cancer
INVENTOR(S): Hoffmann, Jens; Lichtner, Rosemarie; Siemeister, Gerhard; Schneider, Martin; Fuhrmann, Ulrike
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002032430	A1	20020425	WO 2001-EP12005	20011017

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: EP 2000-250341 A 20001018
US 2000-240998P P 20001018

AB The present invention relates to methods and uses for preventing or treating hormone-dependent disease, in particular breast cancer, in a mammal by antiprogestins, in particular antiprogestin 11.beta.-(4-acetylphenyl)-17.beta.-hydroxy-17.alpha.-(1,1,2,2,2-pentafluoroethyl)-estra-4,9-dien-3-one (I) or a pharmaceutically acceptable deriv. or analog thereof. The invention further relates to pharmaceutical compns. comprising said antiprogestin. In the DMBA-induced mammary tumor model in the rat, the antiprogestin I completely suppressed the tumor development in intact animals for more than 12 wk after treatment start.

IT **211254-73-8**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antiprogestins for prophylaxis and treatment of hormone-dependent diseases such as breast cancer)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 4 OF 35 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:314772 HCAPLUS
DOCUMENT NUMBER: 136:319376
TITLE: Inhibition of the growth factor dependency of tumor cells

INVENTOR(S): Lichtner, Rosemarie; Fuhrmann, Ulrike
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 21 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002032429	A2	20020425	WO 2001-EP12004	20011017
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10051609	A1	20020502	DE 2000-10051609	20001018

PRIORITY APPLN. INFO.: DE 2000-10051609 A 20001018
US 2000-241010P P 20001018
OTHER SOURCE(S): MARPAT 136:319376

AB The invention relates to the use of progesterone receptor inhibitors for inhibition of growth-factor-dependency of tumor cells. In examples provided, the antiproliferative action of 11.beta.-(4-acetylphenyl)-17.beta.-hydroxy-17.alpha.-(1,1,2,2,2-pentafluoroethyl)estra-4,9-dien-3-one (I), onapristone, ZK 191703, and 4-hydroxytamoxifen was demonstrated in T47D (human breast carcinoma) cells. I showed significant antiproliferative action at extremely small concns.

IT **211254-73-8**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(fluoroalkyl steroids as progesterone receptor inhibitors and breast carcinoma inhibitors)

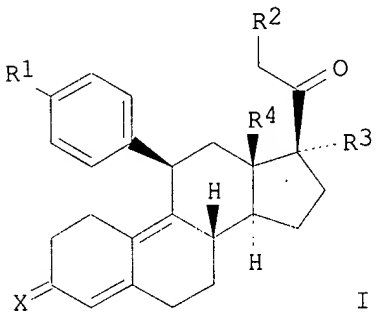
L12 ANSWER 5 OF 35 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:747811 HCAPLUS
DOCUMENT NUMBER: 135:304062
TITLE: Preparation of 17.alpha.-substituted-11.beta.-substituted-4-aryl and 21-substituted 19-norpregna-4,9-diene-3,20-dione derivatives as new antiprogestational agents
INVENTOR(S): Kim, Hyun K.; Blye, Richard P.; Rao, Pemmaraju N.; Cessac, James W.; Acosta, Carmie K.; Simmons, Anne Marie
PATENT ASSIGNEE(S): Secretary of Health and Human Services, USA
SOURCE: PCT Int. Appl., 171 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001074840	A2	20011011	WO 2001-US8681	20010316
WO 2001074840	A3	20020502		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 2001045849 A5 20011015 AU 2001-45849 20010316
PRIORITY APPLN. INFO.: US 2000-526855 A 20000317
WO 2001-US8681 W 20010316

OTHER SOURCE(S): MARPAT 135:304062
GI



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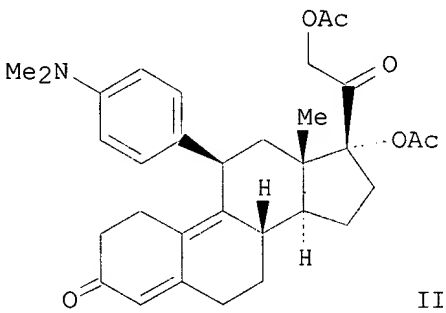


II

AB 19-Norpregna-4,9-diene-3,20-dione derivs. [I; R1 = OMe, SMe, NMe2, NHMe, NC4H8, NC5H10, NC4H8O, CHO, CH(OH)Me, C(O)Me, O(CH2)2NMe2, and -O(CH2)2NC5H10; R2 = H, halogen, alkyl, acyl, hydroxy, alkoxy, acyloxy, alkylcarbonate, cypionyloxy, S-alkyl, -SCN, S-acyl and -OC(O)R6; R6 = alkyl, alkoxy ester, alkoxy; R3 = alkyl, hydroxy, alkoxy and acyloxy; R4 = H, alkyl; X = O, (substituted) NOH] were prepd as antiprogestational agents. The present invention provides methods wherein I were advantageously used, inter alia, to antagonize endogenous progesterone; to induce menses; to treat endometriosis; to treat dysmenorrhea; to treat endocrine hormone-dependent tumors; to treat meningiomas; to treat uterine leiomyomas; to treat uterine fibroids; to inhibit uterine endometrial proliferation; to induce cervical ripening; to induce labor; and for contraception. Thus, norpregnadienedione deriv. II was prepd. from 3,3-ethylenedioxy-17.beta.-cyano-17.alpha.-hydroxyestra-5(10),9(11)-diene and 4-bromo-N,N-dimethylaniline in 9 steps which showed 2.79 times the antiprogestational potency in the antiClauberg test compared to CDB-2914.

IT **198413-96-6P 198414-00-5P 198414-42-5P**
365416-07-5P 365416-33-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 17.alpha.-substituted-11.beta.-substituted-4-aryl and 21-substituted 19-norpregnadienedione as new antiprogestational agents)

L12 ANSWER 6 OF 35 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:671604 HCAPLUS
DOCUMENT NUMBER: 135:339535
TITLE: Reversible suppression of menstruation with progesterone antagonists in rhesus macaques

AUTHOR(S): Slayden, O. D.; Chwalisz, K.; Brenner, R. M.
CORPORATE SOURCE: Division of Reproductive Sciences, Oregon Regional Primate Research Center, Beaverton, OR, 97006, USA
SOURCE: Human Reproduction (2001), 16(8), 1562-1574
CODEN: HUREEE; ISSN: 0268-1161
PUBLISHER: Oxford University Press
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A reliable means of menstrual suppression would greatly improve the quality of life for women. Information is lacking on the direct endometrial effects and appropriate dosages of new antiprogestins that may be useful for this purpose. The current work evaluated three different systems in macaque monkeys. First, the range of doses of two relatively new antiprogestins, ZK 137316 and ZK 230211, that would block progesterone action directly on the endometrium in artificially cycled, spayed rhesus macaques; second, the direct endometrial effects of ZK 230211, a type II antiprogestin; and third, investigation of whether endometrial-suppressive doses administered chronically to intact, cycling monkeys could be used for reversible, menstrual suppression. The results in naturally cycling animals showed that ZK 137316 blocked menstruation in all animals, but doses of 0.05 mg/kg blocked ovulation in 55.5% of animals and doses of 0.1 mg/kg blocked ovulation in 66.6% of the animals. However, all doses of ZK 230211 that blocked menstruation also blocked ovulation. All progesterone antagonist (PA)-treated animals, regardless of dose, maintained normal follicular phase concns. of estradiol and returned to normal menstrual cyclicity within 15-41 days post-treatment. Therefore ZK 137316, depending on dose, can allow ovulation but block menstruation, while ZK 230211, a much more potent PA, blocks both ovulation and menstruation at all EDs. Both PAs block unopposed estrogenic action on the endometrium through their antiproliferative effects. Reversible amenorrhea can be achieved with these two PAs, and they can protect the endometrium from the effects of unopposed estrogen whether or not ovulation is blocked. Chronic, low dose PA treatment may provide a new option for women who wish to suppress their menstrual periods.
IT **211254-73-8**, ZK 230211
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(progesterone antagonists reversibly suppress menstruation in rhesus macaques)
REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 7 OF 35 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:489204 HCAPLUS
DOCUMENT NUMBER: 135:97441
TITLE: Devices for the delivery of drugs having antiprogestinic properties
INVENTOR(S): Jukarainen, Harri; Markkula, Tommi; Ala-Sorvari, Juha; Lehtinen, Matti; Ruohonen, Jarkko; Haapakumpu, Timo
PATENT ASSIGNEE(S): Leiras Oy, Finland
SOURCE: PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2001047490 A1 20010705 WO 2000-FI1013 20001121
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.: US 1999-472126 A 19991223

AB A device for the controlled release over a prolonged period of time of a drug having antiprogestinic properties comprises a core contg. a drug and optionally a membrane encasing said core, wherein said core and/or membrane is made of a siloxane-based elastomer compn. comprising at least one elastomer and possibly a non-crosslinked polymer. The device is characterized in that the elastomer compn. comprises poly(alkylene oxide) groups and that the poly(alkylene oxide) groups are present in the elastomer or polymer as alkoxy-terminated grafts of polysiloxane units, or as blocks, the said grafts or blocks being linked to the polysiloxane units by silicon-carbon bonds, or as a mixt. of these forms. For example, an antiprogestin-contg. implants were prepd. using a membrane and a core. The membrane was prepd. using 99 parts silica-filled poly(dimethylsiloxane-co-vinylmethylsiloxane) and 0.6 parts of poly(hydrogen Me siloxane-co-dimethyl siloxane) crosslinker. The core was prepd. using 100 parts of com. poly-(dimethylsiloxane-co-vinylmethylsiloxane) and 0.4 parts of poly-(hydrogen Me siloxane-co-dimethylsiloxane) crosslinker. The membrane tubes (length 50 mm) were swelled with cyclohexane and the cores were inserted. Cyclohexane was allowed to evap. and ends were closed with a silicone adhesive. After 24 h the ends were cut to give 2 mm end-caps.

IT **211254-73-8**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(devices for controlled-release delivery of antiprogestin drugs)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 8 OF 35 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:436182 HCAPLUS
DOCUMENT NUMBER: 135:162687
TITLE: Progesterone antagonists increase androgen receptor expression in the rhesus macaque and human endometrium
AUTHOR(S): Slayden, Ov D.; Nayak, Nihar R.; Burton, Kevin A.; Chwalisz, Kristof; Cameron, Sharon T.; Critchley, Hilary O. D.; Baird, David T.; Brenner, Robert M.
CORPORATE SOURCE: Division of Reproductive Sciences, Oregon Regional Primate Research Center, Beaverton, OR, 97006, USA
SOURCE: Journal of Clinical Endocrinology and Metabolism (2001), 86(6), 2668-2679
CODEN: JCEMAZ; ISSN: 0021-972X
PUBLISHER: Endocrine Society
DOCUMENT TYPE: Journal
LANGUAGE: English

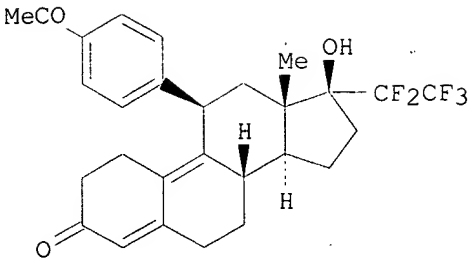
AB Antiprogestins (APs) inhibit estradiol (E2)-stimulated endometrial growth in women and nonhuman primates, but the mechanism of this "antiestrogenic" action is unknown. Here, we report that APs up-regulate endometrial androgen receptor (AR) in both women and macaques, an effect that might play a role in the antiproliferative effects of APs on the primate endometrium. In addn., because there are discrepancies in the literature on the regulation and localization of AR in the primate endometrium, we used both in situ hybridization and immunocytochem. to evaluate hormonal

influences on endometrial AR in women and macaques. In ovariectomized macaques, the following treatments were given for 4 wk each: E2 alone, E2 + progesterone (P), E2 + mifepristone (RU 486), and E2 + P + RU 486. In women, samples were obtained during the normal menstrual cycle and after treatment with either RU 486 for 30 days at 2 mg/day, or after a single oral administration of 200 mg RU 486 on cycle day LH + 2. In macaques, E2 significantly increased AR expression above vehicle controls; E2 + RU 486 increased binding further; E2 + P decreased AR binding; and E2 + P + RU 486 treatment caused an intermediate elevation in AR binding. In macaques treated with E2 alone, stromal AR staining was predominant, and P treatment suppressed that staining. E2 + RU 486 or E2 + P + RU 486 treatment produced a striking up-regulation of glandular epithelial AR staining and enhanced the stromal AR signal. In situ hybridization analyses confirmed the immunocytochem. data. Similar induction of glandular AR staining and enhanced stromal AR staining were obtained in macaques treated with ZK 137316 and ZK 230211. During the natural cycle in women, stromal AR staining predominated and was greater in the proliferative than the late secretory phase. RU 486 treatment of women up-regulated glandular epithelial AR staining after either daily treatment for 30 days with 2 mg/day or after a single oral dose of 200 mg. In summary, endometrial AR was highest in the stroma during the human proliferative phase (or during E2 treatment in macaques) and lowest during the late secretory phase in women (or after E2 + P treatment in macaques). In both species, RU 486 induced AR expression in the glands and enhanced AR expression in stromal cells. Because androgens can antagonize E2 action, enhanced endometrial AR expression induced by APs could play a role in the antiproliferative, "antiestrogenic" effects of APs in primates.

IT **211254-73-8**, ZK 230211
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(progesterone antagonists increase androgen receptor expression in endometrium of rhesus macaque and human)

REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 9 OF 35 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:862017 HCAPLUS
DOCUMENT NUMBER: 134:147740
TITLE: Synthesis and Biological Activity of a Novel, Highly Potent Progesterone Receptor Antagonist
AUTHOR(S): Fuhrmann, Ulrike; Hess-Stumpp, Holger; Cleve, Arwed; Neef, Guenter; Schwede, Wolfgang; Hoffmann, Jens; Fritzemeier, Karl-Heinrich; Chwalisz, Kristof
CORPORATE SOURCE: Research Laboratories, Schering AG, Berlin, D-13342, Germany
SOURCE: Journal of Medicinal Chemistry (2000), 43(26), 5010-5016
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:147740
GI



I

AB The chem. synthesis and pharmacol. characterization of a novel, highly potent progesterone receptor (PR) antagonist, ZK 230211 (I) was described. The introduction of a 17.alpha.-pentafluorethyl side chain in the D-ring of the steroid skeleton allowed the combination of high antiprogestagenic activity with little or no other endocrinol. effects. In contrast to many other antiprogestins, ZK 230211 did not convert to an agonist in the presence of protein kinase A (PKA) activators and showed high antiprogestagenic activity on both PR isoforms PR-A and PR-B. This high antiprogestagenic activity could also be demonstrated in several in vivo models. Furthermore, this compd. displayed only marginal antiglucocorticoid effects. In tumor models ZK 230211 exhibited strong antiproliferative action. The pharmacol. properties of ZK 230211 may prove useful in the treatment of endometriosis, leiomyomas, breast cancer, and in hormone replacement therapy.

IT **211254-73-8P**, ZK 230211
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and biol. activity of a novel, highly potent progesterone receptor antagonist ZK 230211)

IT **321350-73-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and biol. activity of a novel, highly potent progesterone receptor antagonist ZK 230211)

REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 10 OF 35 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:576940 HCAPLUS
DOCUMENT NUMBER: 131:185132
TITLE: Preparation of S-substituted 11.beta.-benzaldoxime-estra-4,9-diene-carbonic acid thiol esters having affinity for the progesterone receptor
INVENTOR(S): Schubert, Gerd; Ring, Sven; Kaufmann, Gunther; Elger, Walter; Schneider, Birgit
PATENT ASSIGNEE(S): Jenapharm Gmbh & Co. K.-G., Germany
SOURCE: PCT Int. Appl., 60 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9945023	A1	19990910	WO 1999-DE408	19990210

W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

DE 19809845	A1	19990909	DE 1998-19809845	19980303
CA 2322471	AA	19990910	CA 1999-2322471	19990210
AU 9934067	A1	19990920	AU 1999-34067	19990210
BR 9908458	A	20001114	BR 1999-8458	19990210
EP 1060187	A1	20001220	EP 1999-915475	19990210
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002505335	T2	20020219	JP 2000-534565	19990210
US 6365582	B1	20020402	US 2000-622803	20000822
NO 2000004362	A	20001031	NO 2000-4362	20000901

PRIORITY APPLN. INFO.: DE 1998-19809845 A 19980303
WO 1999-DE408 W 19990210

OTHER SOURCE(S): MARPAT 131:185132

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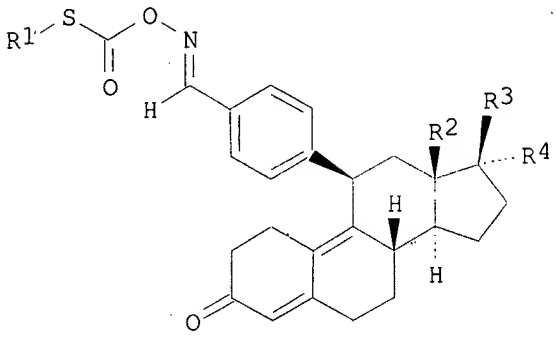

I

AB Title compds. I [R1 = alkyl, aryl, alkylaryl, aralkyl; R2 = alkyl, H; R3 = OH, alkoxy, aryloxy, aralkoxy, alkylaryloxy, OCOR5, OCONHR5, OCOOR5; R5 = H, alkyl, aryl, aralkyl, alkylaryl; R4 = H, alkyl, aryl, aralkyl, alkylaryl, (CH2)nCH2Y; n = 0, 1, 2; Y = F, Cl, Br, iodo, cyano amino, azido, rhodano, OR6, SR6, COSR6, COOR6, etc.; R6 = H, alkyl, aryl, aralkyl, alkylaryl, COR5, OR5, OCOR5, etc.] and their pharmaceutically acceptable salts are prepd. The compds. bind with the progesterone receptor with a distinctly reduced antiglucocorticoidal effect. A general procedure is described for the prepn. of many specific compds. such as 4-[17.beta.-methoxy-17.alpha.-(methoxymethyl)-3-oxoestra-4,9-dien-11.beta.-yl]benzaldehyde 1-(E)-[O-(methylthio)carbonyl]oxime. This had a binding affinity of 150% for the progesterone receptor compared with 100% for the std. (progesterone). I are useful for treatment of endometriosis, uterus myomatosis, dysmenorrhea and premenstrual syndrome, for the induction of reversible amenorrhea without estrogen deficiency, and for hormone replacement therapy optionally in combination with estrogens.

IT **240494-78-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)
(prepn. of S-substituted 11.beta.-benzaldoxime-estradiene-carbonic acid thiol esters having affinity for progesterone receptor)

IT **164655-94-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of S-substituted 11.beta.-benzaldoxime-estradiene-carbonic acid thiol esters having affinity for progesterone receptor)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 11 OF 35 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:350682 HCAPLUS
DOCUMENT NUMBER: 131:19183
TITLE: Preparation and pharmaceutical compositions of 11-.beta.-substituted 19-nor steroids
INVENTOR(S): Nique, Francois
PATENT ASSIGNEE(S): Hoechst Marion Roussel, Fr.
SOURCE: PCT Int. Appl., 58 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9925725	A1	19990527	WO 1998-FR2437	19981116
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
FR 2771096	A1	19990521	FR 1997-14357	19971117
FR 2771096	B1	20000811		
ZA 9810358	A	19991112	ZA 1998-10358	19981112
CA 2309242	AA	19990527	CA 1998-2309242	19981116
AU 9912426	A1	19990607	AU 1999-12426	19981116
EP 1032584	A1	20000906	EP 1998-955663	19981116
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
BR 9814201	A	20000926	BR 1998-14201	19981116
JP 2001523687	T2	20011127	JP 2000-521105	19981116
NO 2000002483	A	20000717	NO 2000-2483	20000512

PRIORITY APPLN. INFO.: FR 1997-14357 A 19971117
WO 1998-FR2437 W 19981116

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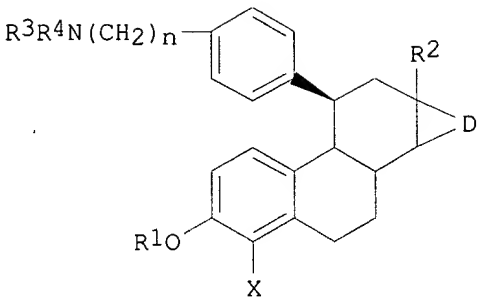

I

AB The 19-nor steroids I (X = halo; D = radical of a pentagonal or hexagonal cycle optionally substituted and optionally unsatd.; R1 = H, aralkyl, aroyl, alkyl, acyl; R2 = linear or branched hydrocarbon; R3, R4 = aralkyl, heterocyclylalkyl, alkyl, R3R4N may form a ring; n = 3, 4, 5) were prepd. as medicines and pharmaceutical compns. contg. Thus, 3-hydroxy-11.beta.-[4-[3-(1-piperidinyl)propyl]phenyl]estra-1,2,5(10)trien-17-one was prepd. in 3 steps from 11.beta.-[4-(3-hydroxypropyl)phenyl]estra-4,9-diene-3,17-dione. In an in vitro study detg. the effect of this at various concns. on cellular growth of human mammary cells MCF-7 culture was compared with that of estradiol at 10-10 M. Pharmaceutical compns. are described.

IT **226212-33-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and pharmaceutical compns. of 11-.beta.-substituted 19-nor steroids)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 12 OF 35 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:254076 HCAPLUS
DOCUMENT NUMBER: 130:282222
TITLE: Method for the preparation and pharmaceutic formulation of 11.beta.-benzaldoxime-9.alpha.,10.alpha.-epoxy-estr-4-ene derivatives
INVENTOR(S): Schubert, Gerd; Ring, Sven; Kaufmann, Guenter; Schneider, Birgitt; Elger, Walter
PATENT ASSIGNEE(S): Jenapharm G.m.b.H. und Co. K.-G., Germany
SOURCE: Ger. Offen., 16 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19745085	A1	19990415	DE 1997-19745085	19971011
EP 909764	A1	19990421	EP 1998-118613	19981001
EP 909764	B1	19990929		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
AT 185145	E	19991015	AT 1998-118613	19981001

PRIORITY APPLN. INFO.: DE 1997-19745085 19971011
OTHER SOURCE(S): MARPAT 130:282222

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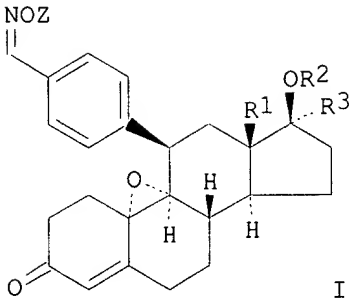

I

AB 11.beta.-Benzaldoxime-9.alpha.,10.alpha.-epoxy-estr-4-ene derivs., e.g. I (R1 = H, C1-6-alkyl; R2 = H, C1-10-alkyl, aryl, aralkyl, alkylaryl, C1-10-acyl, CONHR4, CO2R4; R3 = H, C1-10-alkyl, aryl, aralkyl, alkylaryl, (CH2)nCH2Y; R4 = H, C1-10-alkyl, aryl, aralkyl, alkylaryl; Y = F, Cl, Br, I, CN, N3, SCN, OR5, SR5; n = 0 - 2; R5 = H, C1-10-alkyl, aryl, aralkyl, alkylaryl, C1-10-acyl), are described. Thus, (E)-I (R1 = R2 = Me, R3 = CH2OMe, Z = H) was prepd. via regioselective epoxidn. of estradienone II (R1 = R2 = Me, R3 = CH2OMe, Z = H) with m-chloroperbenzoic acid in CH2Cl2. (E)-I (R1 = R2 = Me, R3 = CH2OMe, Z = H) showed 88% affinity for the progesterone receptor but only 12% affinity for the glucocorticoid receptor.

IT **222732-59-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and pharmaceutic formulation of 11.beta.-benzaldoxime-9.alpha.,10.alpha.-epoxy-estr-4-ene derivs.)

IT **222732-98-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. and pharmaceutic formulation of 11.beta.-benzaldoxime-9.alpha.,10.alpha.-epoxy-estr-4-ene derivs.)

L12 ANSWER 13 OF 35 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:558823 HCAPLUS
DOCUMENT NUMBER: 129:161760
TITLE: Antigestagenically active steroids with fluorinated 17.alpha.-alkyl chain
INVENTOR(S): Schwede, Wolfgang; Cleve, Arwed; Klar, Ulrich; Neef, Guenter; Chwalisz, Kristof; Schneider, Martin; Fuhrmann, Ulrike; Hess-Stumpp, Holger
PATENT ASSIGNEE(S): Schering A.-G., Germany
SOURCE: Ger. Offen., 10 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19706061	A1	19980813	DE 1997-19706061	19970207

ZA 9800985		A	19990803	ZA 1998-985	19980206
WO 9834947		A1	19980813	WO 1998-EP752	19980209
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9861005		A1	19980826	AU 1998-61005	19980209
AU 742834		B2	20020110		
EP 970103		A1	20000112	EP 1998-905419	19980209
EP 970103		B1	20020417		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9807667		A	20000215	BR 1998-7667	19980209
JP 2001510479		T2	20010731	JP 1998-533785	19980209
NO 9903811		A	19991004	NO 1999-3811	19990806
US 6316432		B1	20011113	US 2000-516359	20000301
CN 1324802		A	20011205	CN 2000-129015	20000925
US 2002045774		A1	20020418	US 2001-978689	20011018
PRIORITY APPLN. INFO.:				DE 1997-19706061 A	19970207
				US 1998-20947 B1	19980209
				WO 1998-EP752 W	19980209
				US 2000-516359 XX	20000301

OTHER SOURCE(S): MARPAT 129:161760
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1 = Me, Et; R2 = CnFmHo; n = 2, 3, 4, 5, 6; m > 1; m+o = 2n+1; R3 = (un)etherized OH; R4, R5 = H, or R4R5 = bond, CH2; St = steroidal partial structure Q1-Q3; R6 = H, alkyl, halo; R7 = H, alkyl; or R6R7 = bond when St = Q1 or Q2; X = O, HO-N:, or (H,H); R8 = Y, aryl group (un)substituted by Y; Y = H, halo, OH, NO2, N3, cyano, substituted amino, acyl, etc.] are prepd. Thus, II was prepd. in 5 steps from 4-[3,3:17,17-bis(ethylenedioxy)estr-5-en-11.beta.-yl]phenol and perfluorononyl fluoride via condensation, deacetaliztion, addn. reaction with pentafluoroethyl iodide, reaction with (1-ethoxyethenyl)tributylstannane, and hydrolysis-isomerization. In an in vivo test, II at 0.1 mg/animal/day effected a 100% abortion rate in rats.

IT **211254-71-6P 211254-72-7P 211254-73-8P**
211254-74-9P 211254-91-0P 211254-92-1P
211254-93-2P 211254-94-3P 211254-95-4P
211254-96-5P 211254-97-6P 211254-98-7P
211254-99-8P 211255-00-4P 211255-01-5P
211255-02-6P 211255-03-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of antigestagenically active steroids with fluorinated 17.alpha.-alkyl chain)

IT **211254-80-7P 211254-81-8P 211254-83-0P**
211254-84-1P 211254-85-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of antigestagenically active steroids with fluorinated 17.alpha.-alkyl chain)

L12 ANSWER 14 OF 35 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:509210 HCAPLUS
DOCUMENT NUMBER: 129:136357
TITLE: Preparation of 16-hydroxy-11-(substituted phenyl)-estra-4,9-diene derivatives with antiglucocorticoid activity
INVENTOR(S): Groen, Marinus Bernard; Gebhard, Ronald
PATENT ASSIGNEE(S): Akzo Nobel N.V., Neth.
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9831702	A1	19980723	WO 1998-EP377	19980113
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, ID, IS, JP, KG, KP, KR, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9800084	A	19980708	ZA 1998-84	19980106
AU 9862935	A1	19980807	AU 1998-62935	19980113
AU 736064	B2	20010726		
EP 973792	A1	20000126	EP 1998-906887	19980113
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9807079	A	20000418	BR 1998-7079	19980113
JP 2001508079	T2	20010619	JP 1998-533695	19980113
NO 9903459	A	19990907	NO 1999-3459	19990714
US 6072068	A	20000606	US 1999-341603	19990714

PRIORITY APPLN. INFO.: EP 1997-200098 A 19970115
WO 1998-EP377 W 19980113

OTHER SOURCE(S): MARPAT 129:136357
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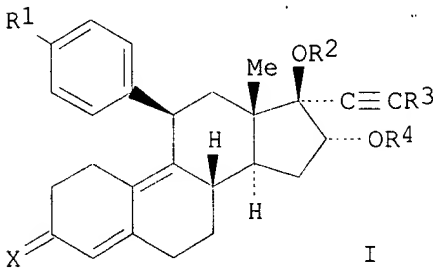

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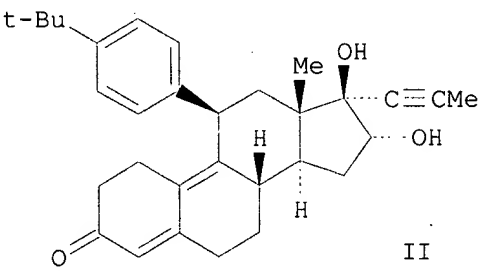


II

AB 16-Hydroxy-11-(substituted phenyl)-estra-4,9-diene derivs. of formula I [R1 = alkyl, cycloalkyl, alkoxy, Ph, etc.; R2 = H, alkyl, acyl, etc.; R3 = H, halo, alkyl; R4 = H, alkyl, acyl, etc.; X = H2, O, NOH] are prepd. The compds. have antiglucocorticoid activity and can be used in the treatment

or prophylaxis of glucocorticoid dependent diseases or symptoms. Thus, estra-4,9-diene-3,17-dione was converted into II. II showed high glucocorticoid receptor binding affinity.

IT **210629-38-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 16-hydroxy-11-(substituted phenyl)-estra-4,9-diene derivs. with antiglucocorticoid activity)

IT **210629-60-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 16-hydroxy-11-(substituted phenyl)-estra-4,9-diene derivs. with antiglucocorticoid activity)

L12 ANSWER 15 OF 35 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:424125 HCAPLUS
DOCUMENT NUMBER: 129:50105
TITLE: Uses of anti-glucocorticoid compounds for the treatment of psychoses or addictive behaviors
INVENTOR(S): Oberlander, Claude; Piazza, Pier Vincenzo
PATENT ASSIGNEE(S): Hoechst Marion Roussel, Fr.; Oberlander, Claude; Piazza, Pier Vincenzo
SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9826783	A1	19980625	WO 1997-FR2320	19971217
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, GW, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
FR 2757400	A1	19980626	FR 1996-15649	19961219
FR 2757400	B1	19991217		
AU 9855632	A1	19980715	AU 1998-55632	19971217
EP 892641	A1	19990127	EP 1997-952078	19971217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

PRIORITY APPLN. INFO.: FR 1996-15649 19961219
WO 1997-FR2320 19971217
OTHER SOURCE(S): MARPAT 129:50105

AB Glucocorticoid antagonists,except mifepristone, are used as dopamine type II receptor antagonists to treat psychotic or addictive behavior. Thus, 17.beta.-hydroxy-10.beta.-[(4-methylphenyl)methyl]-17.alpha.-(1-propynyl)estra-4,9(11)-dien-3-one considerably reduced the response to morphine in vivo.

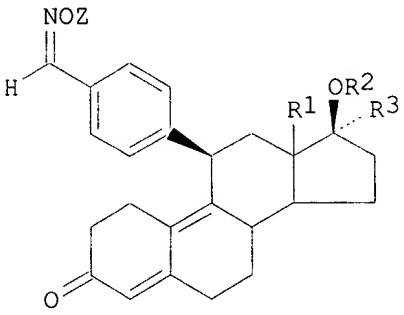
IT **134395-48-5**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(use of anti-glucocorticoid compds. as dopamine type II receptor blocking agents for the treatment of psychoses or addictive behaviors)

L12 ANSWER 16 OF 35 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1997:776012 HCAPLUS
DOCUMENT NUMBER: 128:61679
TITLE: prepn. of 11-benzaldoxime-estra-diene derivs. as antigestagens
INVENTOR(S): Schubert, Gerd; Kaufmann, Gunther; Sobeck, Lothar; Oettel, Michael; Elger, Walter; Kurischko, Anatoli
PATENT ASSIGNEE(S): Jenapharm G.m.b.H., Germany
SOURCE: U.S., 17 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5693628	A	19971202	US 1994-309175	19940920
DE 4332283	A1	19950413	DE 1993-4332283	19930920
SK 280137	B6	19990806	SK 1994-957	19940810

PRIORITY APPLN. INFO.: DE 1993-4332283 A 19930920
US 1994-309175 A 19940920
OTHER SOURCE(S): MARPAT 128:61679
GI



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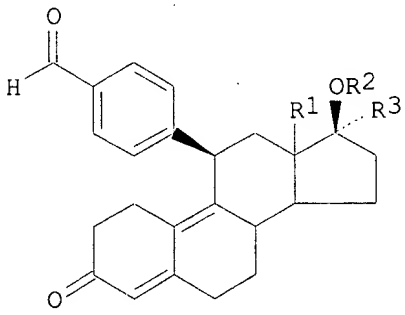


II

AB Synthesis of new 11-benzaldoxime-estra-diene derivs. (I) [R1 = H, alkyl; R2 = H, alkyl, aryl, araalkyl, alkylaryl, CONHR4, CO2R4; R2 = H, alkyl; R2 = H, alkyl, aryl, araalkyl, alkylaryl, CONHR4, CO2R4; R3 = H, alkyl; R2 = H, alkyl, aryl, araalkyl, alkylaryl, CONHR4, CO2R4, (CH2)nCH2X, n = 0-2, X = halo, CN, N3, SCN, OR5, SR5; R4 = H, alkyl; R2 = H, alkyl, aryl, araalkyl, alkylaryl, alkali or alk. earth metal; R5 = (un)substituted alkenyl, (un)substituted alkynyl; Z = H, alkyl; R2 = H, alkyl, aryl, araalkyl, alkylaryl, CONHR4, CO2R4] and their pharmaceutically acceptable salts is given. Thus, I (R1 = Me, R2 = Me, R3 = MeOCH2, Z = OH) (II) is prepd. in six steps by Grignard addn. of 4-bromobenzaldehyde dimethylketal to 3,3-dimethoxy-5.alpha.,10.alpha.-epoxyestr-9,11-en-17-one, epoxidn. of the resulting 17-one, hydrolysis of the epoxide, methoxylation of the diol, decompn. of the dimethoxyketal to formyl with TsOH and hydroximation of the formyl. All doses of II show strong antigestagenic effects combined with reduced glucocorticoid activity.

IT **164655-95-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 11-benzaldoxime-estra-diene derivs. as antigestagens)

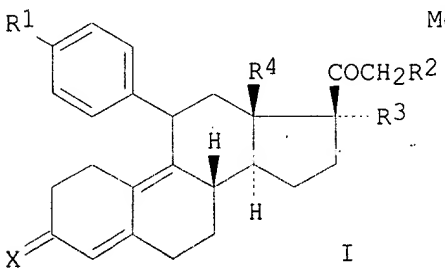
IT **164655-94-1P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 11-benzaldoxime-estra-diene derivs. as antigestagens)

L12 ANSWER 17 OF 35 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:740250 HCAPLUS
DOCUMENT NUMBER: 127:358992
TITLE: Preparation of 21-substituted progesterone derivatives as new antiprogestational agents
INVENTOR(S): Kim, Hyun K.; Blye, Richard P.; Rao, Pemmaraju N.; Cessac, James W.; Acosta, Carmie K.
PATENT ASSIGNEE(S): United States Dept. of Health and Human Services, USA; Kim, Hyun K.; Blye, Richard P.; Rao, Pemmaraju N.; Cessac, James W.; Acosta, Carmie K.
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9741145	A1	19971106	WO 1997-US7373	19970430
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2253673	AA	19971106	CA 1997-2253673	19970430
AU 9729304	A1	19971119	AU 1997-29304	19970430
AU 710139	B2	19990916		
EP 900234	A1	19990310	EP 1997-923523	19970430
EP 900234	B1	20000705		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
AT 194358	E	20000715	AT 1997-923523	19970430
JP 2000509396	T2	20000725	JP 1997-539232	19970430
ES 2152671	T3	20010201	ES 1997-923523	19970430
US 2002025951	A1	20020228	US 1999-180132	19990524

PRIORITY APPLN. INFO.: US 1996-16628P P 19960501
WO 1997-US7373 W 19970430
OTHER SOURCE(S): MARPAT 127:358992
GI



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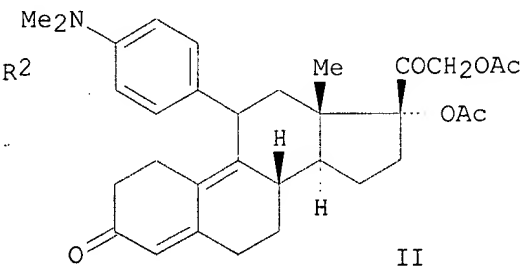


II

AB Progesterone derivs. of formula I [R1 = OMe, SMe, NMe2, NHMe, CHO, Ac, CHOHCH3; R2 = halo, alkyl, acyl, OH, alkoxy, etc.; R3 = OH, alkyl, alkoxy, acyloxy; R4 = H, alkyl; X = O, (substituted) NOH] are prepd. as antiprogestational agents. The present invention provides methods wherein the compds. of formula I are advantageously used, inter alia, to antagonize endogenous progesterone; to induce menses; to treat endometriosis; to treat dysmenorrhea; to treat endocrine hormone-dependent tumors; to treat uterine fibroids; to inhibit uterine endometrial proliferation; to induce labor; and for contraception. Thus, II was prepd. from 3,3-ethylenedioxy-17.beta.-cyano-17.alpha.-hydroxyestra-5(10),9(11)-diene and 4-bromo-N,N-dimethylaniline in 9 steps. II showed 2.79 times the antiprogestational potency in the antiClauberg test compared to CDB-2914.

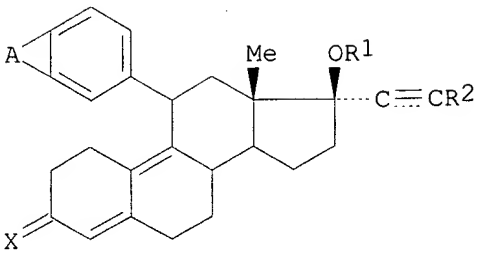
IT **198413-96-6P 198414-00-5P 198414-42-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of progesterone derivs. as antiprogestational agents)

L12 ANSWER 18 OF 35 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:310005 HCAPLUS
DOCUMENT NUMBER: 126:293493
TITLE: Preparation of 11-(substituted phenyl)-estra-4,9-diene derivatives with antiglucocorticoid activity
INVENTOR(S): Gebhard, Ronald
PATENT ASSIGNEE(S): Akzo Nobel N.V., Neth.
SOURCE: Can. Pat. Appl., 18 pp.
CODEN: CPXXEB
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 2182771	AA	19970218	CA 1996-2182771	19960806
JP 09104696	A2	19970422	JP 1996-212824	19960812
EP 763541	A1	19970319	EP 1996-202273	19960813
EP 763541	B1	19990728		
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
AT 182596	E	19990815	AT 1996-202273	19960813
ES 2137625	T3	19991216	ES 1996-202273	19960813
CZ 287740	B6	20010117	CZ 1996-2386	19960813
BR 9603429	A	19980512	BR 1996-3429	19960814
NO 9603427	A	19970218	NO 1996-3427	19960816
AU 9662119	A1	19970220	AU 1996-62119	19960816
AU 711369	B2	19991014		
CN 1147520	A	19970416	CN 1996-111830	19960816
RU 2135514	C1	19990827	RU 1996-115774	19960816
US 6011025	A	20000104	US 1997-935360	19970922

PRIORITY APPLN. INFO.: EP 1995-202229 A 19950817
US 1996-696081 B1 19960813

OTHER SOURCE(S): MARPAT 126:293493
GI



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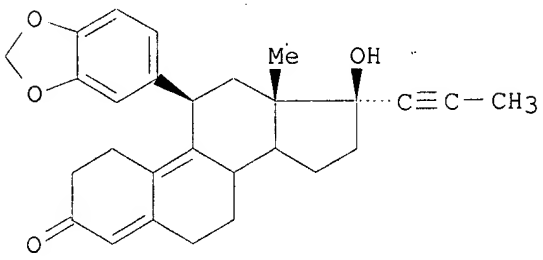

II

AB Estradiene derivs. I [R1 = H, 1-oxoalkyl; R2 = H, alkyl, halogen, CF3; X = H, OH, O, NOH; A = residue of a 5- or 6- membered ring contg. 1 or 2 heteroatoms (O or S)] are prepd. The compds. of the invention have anti-glucocorticoid activity and can be used in treating or preventing glucocorticoid-dependent diseases. Thus, estra-5(10),9(11)-diene-3,17-dione 3-(cyclic-1,2-ethanediyl acetal) was converted in 4 steps into II. II showed specific and high glucocorticoid receptor affinity.

IT **189035-16-3P 189035-17-4P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of phenylestradienes with antiglucocorticoid activity)

IT **189035-38-9P 189035-39-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of phenylestradienes with antiglucocorticoid activity)

L12 ANSWER 19 OF 35 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:985962 HCAPLUS
DOCUMENT NUMBER: 124:22540
TITLE: Pharmaceutical compositions of antiglucocorticoid compounds for treating or preventing symptoms of spontaneous or narcotic-induced withdrawal.
INVENTOR(S): Petit, Francis; Philibert, Daniel; Ulmann, Andre
PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
SOURCE: Eur. Pat. Appl., 30 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 676203	A1	19951011	EP 1995-400764	19950406
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
FR 2718354	A1	19951013	FR 1994-4156	19940408

FR 2718354	B1	19960503		
ZA 9502058	A	19960313	ZA 1995-2058	19950313
CA 2146600	AA	19951009	CA 1995-2146600	19950407
FI 9501683	A	19951009	FI 1995-1683	19950407
AU 9516326	A1	19951019	AU 1995-16326	19950407
JP 07278017	A2	19951024	JP 1995-107071	19950407
HU 71468	A2	19951128	HU 1995-1019	19950407
CN 1116929	A	19960221	CN 1995-104015	19950407

PRIORITY APPLN. INFO.: FR 1994-4156 19940408
OTHER SOURCE(S): MARPAT 124:22540

AB Antiglucocorticoid steroids such as mifepristone, onapristone, lilopristone and related steroids are proposed for the prevention or treatment of withdrawal syndromes, either spontaneous or pptd. by narcotics or mixts. of narcotics. These antiglucocorticoids would be useful in the withdrawal from morphinomimetics such as heroin, morphine or methadone as well as cocaine. Pharmacol. activity was demonstrated by the effect of the antiglucocorticoids on the stereotypic behavior of mice in response to narcotics. Spontaneous withdrawal syndrome was induced by administration of the opioid antagonist, naloxone. An antiprogesterone activity of the steroids in their action mechanism was eliminated. Results confirmed the involvement of endogenous glucocorticoids in morphine withdrawal since this is inhibited by antiglucocorticoids or adrenalectomy.

IT **91934-85-9 134395-48-5**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(RU 486 related; antiglucocorticoid steroids for treatment or prevention of spontaneous opioid or narcotic-induced drug withdrawal syndrome.)

L12 ANSWER 20 OF 35 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:878973 HCAPLUS
DOCUMENT NUMBER: 123:286388
TITLE: Preparation of trifluoromethyl steroids as postcoital contraceptives
INVENTOR(S): Wang, Zhongqi; Ruan, Benfang
PATENT ASSIGNEE(S): Shanghai Institute of Organic Chemistry, Chinese Academy of Sciences, Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 17 pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1100729	A	19950329	CN 1993-112563	19930920
CN 1055929	B	20000830		

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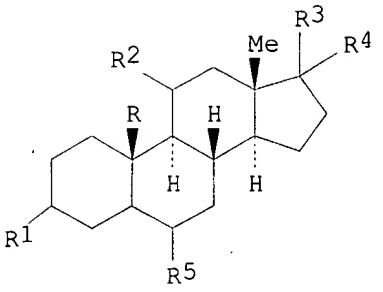


I

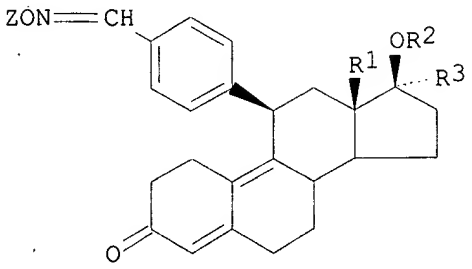
AB Title compds. I [R = H, Me; R1 = acetoxy, OH, CO2H, H; R2 = H, acetoxy, OH; R3 = H, OH; R4 = CF3, trifluorohydroxyalkyl; there may be double bonds in rings A or/and B] are prepd. Thus, 3.beta.-acetoxyandrost-5-en-17-one in THF contg. Me4NF was treated with CF3SiMe3 at room temp. for 3 h to give 83% 3.beta.-acetoxy-17.alpha.-(trifluoromethyl)androst-5-en-17.beta.-ol. In a study using 6-days female rats, 17.alpha.-(trifluoromethyl)estra-1,3,5(10)-triene-3,17.beta.-diol (also prepd.) at 10 mg/Kg p.o. effected bleeding the day following the administration.

IT **161225-93-0P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of fluoromethyl steroids as postcoital contraceptives)

L12 ANSWER 21 OF 35 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:662471 HCAPLUS
DOCUMENT NUMBER: 123:56389
TITLE: New 11-oximinomethylphenylestradienes as contraceptives
INVENTOR(S): Schubert, Gerd; Kaufmann, Guenther; Sobeck, Lothar; Oettel, Michael; Elger, Walter; Kurischko, Anatoli
PATENT ASSIGNEE(S): Jenapharm GmbH, Germany
SOURCE: Ger. Offen., 23 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4332283	A1	19950413	DE 1993-4332283	19930920
EP 648778	A2	19950419	EP 1994-250178	19940707
EP 648778	B1	19970813		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
AT 156835	E	19970815	AT 1994-250178	19940707
ES 2108371	T3	19971216	ES 1994-250178	19940707
FI 9403687	A	19950321	FI 1994-3687	19940809
NO 9402953	A	19950321	NO 1994-2953	19940809
SK 280137	B6	19990806	SK 1994-957	19940810
RU 2137777	C1	19990920	RU 1994-29664	19940811
AU 9470350	A1	19950330	AU 1994-70350	19940818
AU 682195	B2	19970925		
CA 2130516	AA	19950321	CA 1994-2130516	19940819
HU 68029	A2	19950529	HU 1994-2694	19940919
JP 07149789	A2	19950613	JP 1994-224379	19940920

JP 2753562 B2 19980520
US 5693628 A 19971202 US 1994-309175 19940920
PRIORITY APPLN. INFO.: DE 1993-4332283 A 19930920
US 1994-309175 A 19940920
OTHER SOURCE(S): MARPAT 123:56389
GI



I

AB Title compds. I [R1 = H, alkyl; R2 = H, alkyl, aryl aralkyl, alkylaryl, acyl, carbamoyl, (un)substituted CO2H; R3 = H, (un)substituted alkyl, aryl; Z = H, alkyl, aryl aralkyl, alkylaryl, acyl, carbamoyl, (un)substituted CO2H] were prepd. for use as contraceptives with low glucocorticoid activity. Thus, I [R1, R2 = Me, R3 = CH2OMe, Z = H, II] was prepd. from 3,3-dimethoxy-5.alpha.,10.alpha.-epoxyestr-9(11)-en-17-one in 6 steps. II had a contraceptive ED50 of 0.6 mg/day in rats.

IT **164655-94-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(new 11-oximinomethylphenylestradienes as contraceptives)

IT **164655-95-2P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(new 11-oximinomethylphenylestradienes as contraceptives)

L12 ANSWER 22 OF 35 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:142374 HCAPLUS
DOCUMENT NUMBER: 122:161032
TITLE: Trifluoromethylation of steroidal ketones
AUTHOR(S): Wang, Zhongqi; Ruan, Benfang
CORPORATE SOURCE: Shanghai Institute of Organic Chemistry, Chinese Academy of Sciences, 354 Fenglin Lu, Shanghai, 200032, Peop. Rep. China
SOURCE: J. Fluorine Chem. (1994), 69(1), 1-3
CODEN: JFLCAR; ISSN: 0022-1139
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 122:161032

AB An improved procedure for the efficient trifluoromethylation of steroidal ketones using CF3SiMe3 and Me4NF has been developed. 11.beta.-(4-Dimethylaminophenyl)-17.alpha.-trifluoromethylestra-4,9-dien-17.beta.-ol-3-one has been shown to exhibit high contraceptive activity in biotests.

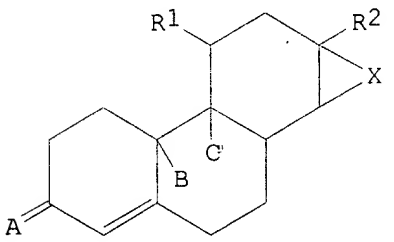
IT **161225-93-0P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and contraceptive activity)

L12 ANSWER 23 OF 35 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1991:551901 HCAPLUS
DOCUMENT NUMBER: 115:151901

TITLE: Use of antiprogestomimetics for stimulating ovulation, and new preparation for use in pharmaceutical compositions
INVENTOR(S): Grandadam, Jean Andre
PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
SOURCE: Eur. Pat. Appl., 24 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 417003	A2	19910313	EP 1990-402449	19900906
EP 417003	A3	19911204		
EP 417003	B1	19940629		
R: AT, BE, CH, DE, DK, FR, GB, IT, LI, LU, NL, SE				
FR 2651435	A1	19910308	FR 1989-11699	19890907
FR 2651435	B1	19940422		
US 5173483	A	19921222	US 1990-578894	19900905
CA 2024728	AA	19910308	CA 1990-2024728	19900906
AU 9062259	A1	19910314	AU 1990-62259	19900907
AU 623805	B2	19920521		
JP 03099015	A2	19910424	JP 1990-236004	19900907
JP 3032258	B2	20000410		

PRIORITY APPLN. INFO.: FR 1989-11699 A 19890907
OTHER SOURCE(S): MARPAT 115:151901
GI



I

AB Anti-progestomimetic compds., e.g. I [R1 = C1-18 hydrocarbyl with optionally .gtoreq.1 heteroatoms, bonded to the steroid by a C; R2 = C1-8 hydrocarbyl; X = rest of 5- or 6-membered (substituted) (unsatd.) ring; A:C = oxo (free or in ketal), CH(OH), CH(OR3), CH(O2CR3), etc.; R3 = C1-8 alkyl, C7-15 aralkyl; B and C together form a double bond or epoxide bridge] and their acid and base addn. salts, are used for making pharmaceuticals for stimulating ovulation, e.g. in cows. The compds. of the invention are preferably used following treatment with progesterone or a progestomimemetic, e.g. 3-oxo-17.alpha.-allyl-17.beta.-hydroxyestra-4,9,11-triene (II). Thus, heifer cows were 1st administered II for 17 days; on the day following the last administration, the animals were injected with 17.beta.-hydroxy-11.beta.-(4-dimethylaminophenyl)-17.alpha.-(prop-1-ynyl)estra-4,9-dien-3-one. All of the heifers came to heat after a very short delay period, and LH levels rose very rapidly. Prepn. of 12 anti-progestomimetics is presented.

IT **134395-46-3P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, in antiprogestomimetic prepn. for ovulation stimulation)

IT **134395-48-5P**
RL: PREP (Preparation)
(prepn. of, as antiprogestomimetic for ovulation stimulation)

IT **91934-84-8 134395-47-4**
RL: RCT (Reactant)
(reaction of, in antiprogestomimetic prepn. for ovulation stimulation)

L12 ANSWER 24 OF 35 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1991:472015 HCAPLUS
DOCUMENT NUMBER: 115:72015
TITLE: Preparation of 11.beta.-aryl-4,9-dienesteroids as abortifacients
INVENTOR(S): Menzenbach, Bernd; Prousa, Richard; Ponsold, Kurt; Kurischko, Anatoli
PATENT ASSIGNEE(S): Akademie der Wissenschaften der DDR, Fed. Rep. Ger.
SOURCE: Ger. (East), 5 pp.
CODEN: GEXXA8
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DD 287510	A5	19910228	DD 1989-327739	19890419

OTHER SOURCE(S): MARPAT 115:72015
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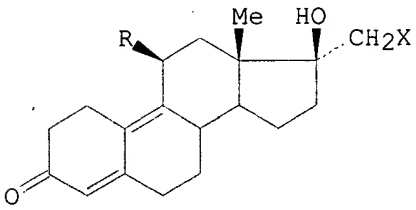


I

AB The title compds. [I; R = 4-(H2N)C6H4 and X = Cl or N3; R = 4-(MeO)C6H4 and X = cyano, N3, OMe, Cl, or thiocyanate; R = Ph and X = cyano or N3] were prepd. Thus, 3,3-dimethoxy-5.alpha.-hydroxy-11.beta.-(p-dimethylaminophenyl)estr-9-en-17-one was condensed with Me3SI and the product treated with aq. HCl to give I [R = 4-(H2N)C6H4, X = Cl] which gave abortions to 5 of 6 pregnant rats at 3 mg/rat/day s.c.

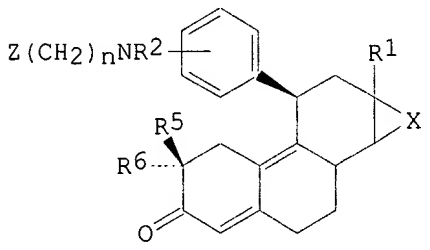
IT **135202-46-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as abortifacient)

L12 ANSWER 25 OF 35 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1991:409125 HCAPLUS
DOCUMENT NUMBER: 115:9125
TITLE: Preparation of .omega.-[(3-oxoestra-4,9-dien-11.beta.-yl)phenylamino]alkanoates as antiglucocorticoids
INVENTOR(S): Moguilewsky, Martine; Nedelec, Lucien; Nique,

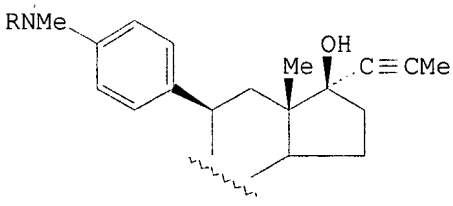
Francois; Philibert, Daniel
PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
SOURCE: Eur. Pat. Appl., 33 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 414606	A2	19910227	EP 1990-402328	19900822
EP 414606	A3	19910724		
EP 414606	B1	19941102		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
FR 2651233	A1	19910301	FR 1989-11173	19890823
FR 2651233	B1	19911213		
CA 2022648	AA	19910224	CA 1990-2022648	19900803
ZA 9006341	A	19911030	ZA 1990-6341	19900810
US 5166146	A	19921124	US 1990-568597	19900816
JP 03090097	A2	19910416	JP 1990-217281	19900820
JP 3026997	B2	20000327		
IL 95451	A1	19950731	IL 1990-95451	19900821
AU 9061189	A1	19910228	AU 1990-61189	19900822
AU 634569	B2	19930225		
HU 54706	A2	19910328	HU 1990-5275	19900822
HU 208154	B	19930830		
ES 2063313	T3	19950101	ES 1990-402328	19900822
CN 1051362	A	19910515	CN 1990-107161	19900823
CN 1033808	B	19970115		
RU 2041236	C1	19950809	RU 1992-5011511	19920518

PRIORITY APPLN. INFO.: FR 1989-11173 A 19890823
OTHER SOURCE(S): CASREACT 115:9125; MARPAT 115:9125
GI



I



II

AB The title compds. [I; R1 = aliph. hydrocarbyl; R2 = H, (un)substituted alkyl; R5, R6 = H, alkyl; X = atoms to complete an (un)substituted 5- or

6- membered ring; Z = (un)salified CO2H; n = 1-6] were prepd. Thus, aminophenylestradienone II (R = R5 = R6 = H) was condensed with BrCH2CO2Me to give, after sapon., II (R = CH2CO2Na, R5 = R6 = H) which at 10-6M in vitro gave 82% inhibition of uridine incorporation into rat thymocytes.

IT **134395-46-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, in prepn. of antiglucocorticoids)

IT **134395-48-5P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as antiglucocorticoid)

IT **134395-47-4**
RL: RCT (Reactant)
(reaction of, in prepn. of antiglucocorticoids)

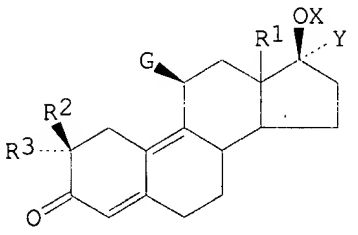
L12 ANSWER 26 OF 35 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1991:247582 HCAPLUS
DOCUMENT NUMBER: 114:247582
TITLE: Preparation and formulation of 17.beta.-(3-carboxypropionyloxy-17.alpha.-alkynyl-11.beta.-phenylestra-4,9-dien-3-ones and analogs as hormonal agents
INVENTOR(S): Moguilewsky, Martine; Nedelec, Lucien; Nique, Francois; Philibert, Daniel
PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
SOURCE: Eur. Pat. Appl., 47 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 412907	A2	19910213	EP 1990-402266	19900808
EP 412907	A3	19910724		
EP 412907	B1	19941109		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
FR 2650748	A1	19910215	FR 1989-10648	19890808
FR 2650748	B1	19911108		
ZA 9005812	A	19910925	ZA 1990-5812	19900724
IL 95272	A1	19960131	IL 1990-95272	19900802
CA 2022647	AA	19910209	CA 1990-2022647	19900803
JP 03077825	A2	19910403	JP 1990-206949	19900806
JP 3056770	B2	20000626		
AU 9060208	A1	19910214	AU 1990-60208	19900807
AU 633604	B2	19930204		
NO 9003475	A	19910411	NO 1990-3475	19900807
NO 177595	B	19950710		
NO 177595	C	19951018		
HU 55031	A2	19910429	HU 1990-4921	19900807
CN 1049352	A	19910220	CN 1990-106741	19900808
CN 1036521	B	19971126		
ES 2063940	T3	19950116	ES 1990-402266	19900808
US 5276023	A	19940104	US 1992-876181	19920430
RU 2056431	C1	19960320	RU 1992-5011906	19920707
NO 9400954	A	19910411	NO 1994-954	19940316
NO 177594	B	19950710		
NO 177594	C	19951018		
FI 9502684	A	19950601	FI 1995-2684	19950601

PRIORITY APPLN. INFO.:

FR 1989-10648	A	19890808
FI 1990-3905	A	19900807
NO 1990-3475	A	19900807
US 1990-563489	B1	19900807

OTHER SOURCE(S): MARPAT 114:247582
GI



I

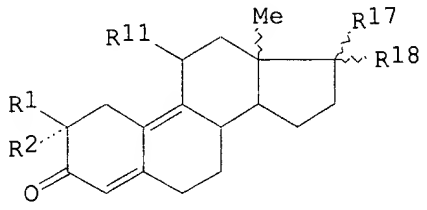
AB The title compds. [I; G = (heteroatom-contg.) hydrocarbyl; R1 = aliph. hydrocarbyl; R2, R3 = H, alkyl; either X = H, (ar)alkyl, or acyl and Y = BO2CAZ, or X = COAZ and Y = CH2CH2R4, CH:CHR4, or C.tplbond.CR4; A = bivalent aliph. or arom. group; B = bivalent aliph. group; R4 = H, halo, trialkylsilyl, (un)substituted alkyl, Ph; Z = CO2H, SO3H] were prepd. Thus, I [G = 4-(MeS)C6H4, R1 = Me, R2 = R3 = H, Y = C.tplbond.CMe] (II; X = H) was condensed with succinic anhydride to give, after salification, II (X = COCH2CH2CO2Na) which had 83.3 and 27.8% the binding of progesterone to rabbit uterus progesterone receptors in vitro at 2 and 24 h, resp.

IT **91934-84-8**
RL: RCT (Reactant)
(reaction of, in prepn. of hormonal agent)

L12 ANSWER 27 OF 35 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1991:229227 HCAPLUS
DOCUMENT NUMBER: 114:229227
TITLE: Preparation of 19-nor 3-oxo steroids with an amine substituted 17-chain as antioxidants and antinflammatories: their use as medicines and pharmaceutical composition containing them
INVENTOR(S): Claussner, Andre; Leclaire, Jacques; Nedelec, Lucien; Philibert, Daniel
PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
SOURCE: Eur. Pat. Appl., 29 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 389370	A1	19900926	EP 1990-400784	19900322
EP 389370	B1	19940427		
R: CH, DE, FR, GB, IT, LI, NL				
FR 2644789	A1	19900928	FR 1989-3742	19890322
FR 2644789	B1	19950203		
JP 02273693	A2	19901108	JP 1990-68508	19900320
JP 2848907	B2	19990120		

US 5108996 A 19920428 US 1990-497562 19900321
PRIORITY APPLN. INFO.: FR 1989-3742 19890322
OTHER SOURCE(S): CASREACT 114:229227; MARPAT 114:229227
GI



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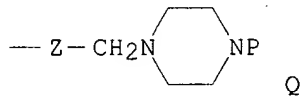
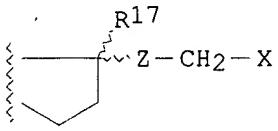
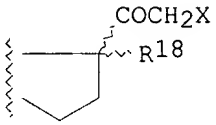


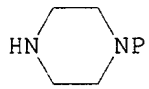
Q



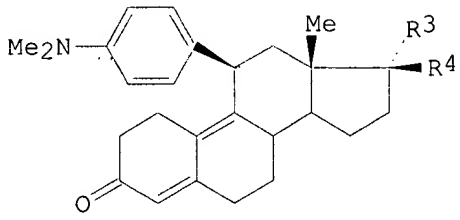
II



III



IV



V

AB The title compds. [I; R1, R2 = H, Me; R11 = (poly)(hetera)hydrocarbyl; one of R17 and R18 is OH or acyloxy and the other is Q; Z = alkylene, alkenylene, alkynylene; P = (substituted) pyrimidinyl, pyridyl] were prepd. via reacting the halo derivs. II or III (X = halo) with the appropriate pyrimidinyl or pyridine deriv. IV. Reaction of estradienone V [R3 = 3-bromo-1-propynyl, R4 = OH] (prepn. given) was reacted with 2,4-bis(1-pyrrolidinyl)-6-(1-piperazinyl)pyrimidine (prepn. given) in acetone contg. K2CO3 at ambient temp. for 2 h to give V [R3 = 3-[4-[2,6-bis(1-pyrrolidinyl)-4-pyrimidinyl]-1-piperazinyl]-1-propynyl; R4 = OH]. At 5 .times. 10-4 M this inhibited in vitro the formation of malonyldialdehyde, a measure of lipid peroxidn., in rat brain homogeneate by .apprx.47.5%.

IT **124478-62-2P 133684-88-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate in prepn. of antioxidants and antiinflammatories)

L12 ANSWER 28 OF 35 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1990:532580 HCAPLUS
DOCUMENT NUMBER: 113:132580
TITLE: Preparation of 3-oxo-.DELTA.4,9-19-nor steroids as drugs and pharmaceutical compositions containing them
INVENTOR(S): Hardy, Michel; Nique, Francois; Philibert, Daniel
PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
SOURCE: Eur. Pat. Appl., 8 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent

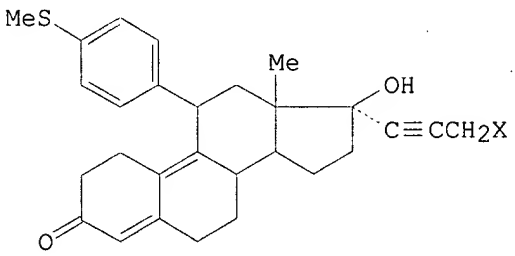
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 369881	A1	19900523	EP 1989-403142	19891115
R: CH, DE, GB, IT, LI, NL				
FR 2639045	A2	19900518	FR 1988-14868	19881116
FR 2639045	B2	19940729		
JP 02188599	A2	19900724	JP 1989-295173	19891115
US 5064822	A	19911112	US 1989-438359	19891116
US 5182381	A	19930126	US 1991-757261	19910910

PRIORITY APPLN. INFO.:

FR 1988-14868	19881116
FR 1982-3338	19820301
US 1983-469042	19830223
US 1984-618590	19840608
US 1985-746176	19850618
US 1986-859072	19860502

OTHER SOURCE(S): MARPAT 113:132580
GI



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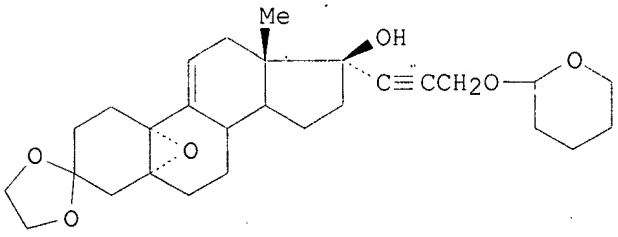


II

AB The title compds. (I; X = OH, halo), useful as antiglucocorticoids, progestogen and androgen agonists and antagonists, were prepd. Copper chloride was added to the epoxyestrenone cyclic ethylene acetal II in THF at 0.degree. and the mixt. was treated with 4-MeSC6H4MgBr in THF at ambient temp. for 1 h to give I (X = OH). The relative affinity of I (X = OH, F, Cl) for binding the glucocorticoid receptors of the rat thymus were 133, 142, and 156, resp., after 1 h incubation. A tablet contg. I (X = F) was formulated.

IT **129451-41-8P 129451-42-9P 129451-43-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as antiglucocorticoid and progestogen and androgen agonist and antagonist)

L12 ANSWER 29 OF 35 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1990:36259 HCAPLUS
DOCUMENT NUMBER: 112:36259

TITLE: Preparation of 17.beta.-hydroxy 19-norsteroids as antiprogestomimetics, antiglucocorticoids, androgenics, and antiandrogenics and pharmaceutical compositions containing them

INVENTOR(S): Moguilewsky, Martine; Nedelec, Lucien; Nique, Francois; Philibert, Daniel

PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.

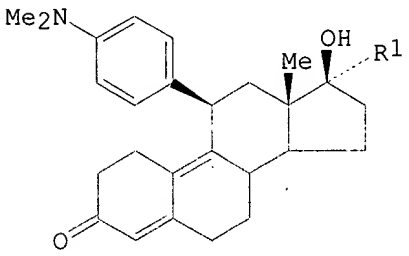
SOURCE: Ger. Offen., 12 pp.
CODEN: GWXXBX

DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3844408	A1	19890713	DE 1988-3844408	19881230
DE 3844408	C2	20010726		
FR 2625505	A2	19890707	FR 1987-18376	19871230
FR 2625505	B2	19910510		
JP 01213296	A2	19890828	JP 1988-329538	19881228
JP 2785023	B2	19980813		
BE 1004905	A4	19930223	BE 1988-1441	19881228
SE 8804692	A	19890701	SE 1988-4692	19881229
SE 503267	C2	19960429		
NL 8803196	A	19890717	NL 1988-3196	19881229
ES 2012197	A6	19900301	ES 1988-4011	19881229
CH 676852	A	19910315	CH 1988-4860	19881229
CA 1303025	A1	19920609	CA 1988-587227	19881229
AT 8803187	A	19930415	AT 1988-3187	19881229
AT 396787	B	19931125		
GB 2213484	A1	19890816	GB 1988-30380	19881230
GB 2213484	B2	19911009		
US 5006518	A	19910409	US 1988-292475	19881230

PRIORITY APPLN. INFO.: FR 1987-18376 A 19871230
OTHER SOURCE(S): CASREACT 112:36259; MARPAT 112:36259

GI



I

AB The title compds. [I; R1 = Pr, propenyl, iodoethenyl, iodoethynyl, etc.], having antiglucocorticoid, antiprogestomimetic, androgenic, and antiandrogenic activities and therefore useful for inducing abortion, are prepd. I (R1 = C.tplbond.CCH2OH) reacted with CCl4 in THF contg. PPh3 at 90.degree. for 3 h to give I (R1 = C.tplbond.CCH2Cl). A tablet for veterinary use was formulated comprising 200 mg I [R1 = (Z)-CH:CHMe]. (II) and 350 mg excipient (talc, starch, and Mg stearate). II at 4 or 5 mg/kg s.c. effected abortion in 10 days in 100% of test rabbits.

IT **124478-56-4P 124478-57-5P 124478-58-6P**

124478-59-7P 124478-62-2P 124481-43-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as antiglucocorticoid and antiprogestomimetic agent)
IT **124481-44-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for antiglucocorticoids and antiprogestomimetics)

L12 ANSWER 30 OF 35 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1988:529463 HCAPLUS
DOCUMENT NUMBER: 109:129463
TITLE: New 11-(alkynylphenyl)-substituted 19-nor and 19-nor-D-homo steroids, their formation and pharmacological activity, and processes for their preparation
INVENTOR(S): Teutsch, Jean Georges; Klich, Michel; Philibert, Daniel
PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
SOURCE: Eur. Pat. Appl., 88 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 245170	A1	19871111	EP 1987-401018	19870504
EP 245170	B1	19891129		
R: CH, DE, GB, IT, LI, NL, SE				
FR 2598421	A1	19871113	FR 1986-6517	19860506
FR 2598421	B1	19880819		
US 4912097	A	19900327	US 1987-44958	19870430
HU 44793	A2	19880428	HU 1987-2007	19870505
HU 196224	B	19881028		
JP 62294694	A2	19871222	JP 1987-109059	19870506
PRIORITY APPLN. INFO.:			FR 1986-6517	19860506

OTHER SOURCE(S): CASREACT 109:129463
GI For diagram(s), see printed CA Issue.
AB Title steroids I [R1 = C2-8 alkynyl (un)substituted by OH, halo, trialkylsilyl, alkoxy, alkylthio, dialkylamino, or oxo; R2 = C1-3 alkyl; A/B-rings = Q1-Q5; D-ring = Q6, Q7; R3, R4 = H, C1-4 alkyl; R5 = H, OH, acycloxy, (un)substituted C1-6 alkoxy; R6 = H, C1-8 alkyl, C7-15 aralkyl; R7, R8 = H, OH, etc.; R7R8 = lactones and related groups; YZ = CH2CH2, CH:CH, 1,2-cyclopropanediyl, CHR9CH2, CH2CHR10; R9, R10 = C1-4 alkyl] are prepd. for use as progestogens, antiprogestogens, and/or antiglucocorticoids. 3,3-Ethylenedioxy-5,10-epoxy-estr-9(11)-en-17-one was treated with 4-(Me3SiC:C)C6H4MgBr and CuCl in THF, and the product treated with CH2:CHCH2MgBr and deprotected and dehydrated (NH4OH in aq. MeOH, then aq. HCl) to give (ethylnylphenyl)allylhydroxyestradienone II. At 10-6M in vitro, II gave 99% reversal of the dexamethasone-induced redn. of uridine uptake by rat thymocytes (5 .times. 10-8M dexamethasone). Tablets were prepd. from 50 mg of the 17.alpha.-(chloroethynyl) analog of II, and 120 mg of a mixt. of talc, starch, and Mg stearate.
IT **116501-90-7P 116501-91-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and deprotection of)
IT **116421-91-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and deprotection-dehydration of)

IT **116421-67-1P 116421-68-2P 116421-70-6P**
116421-83-1P 116501-86-1P 116501-87-2P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as drug)

L12 ANSWER 31 OF 35 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1988:1254 HCAPLUS
DOCUMENT NUMBER: 108:1254
TITLE: Product containing an antiprogestomimetic and a uterotonic substance
INVENTOR(S): Bygdeman, Marc
PATENT ASSIGNEE(S): Roussel-UCLAF , Fr.
SOURCE: Eur. Pat. Appl., 32 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 184471	A1	19860611	EP 1985-400330	19850222
EP 184471	B1	19901114		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
FR 2573657	A1	19860530	FR 1984-18188	19841129
FR 2573657	B1	19890512		
AT 58295	E	19901115	AT 1985-400330	19850222
CA 1251732	A1	19890328	CA 1985-489943	19850904

PRIORITY APPLN. INFO.: FR 1984-18188 19841129
EP 1985-400330 19850222

AB Joint administration of known steroid antiprogesterone or antiprogestomimetic compds. and known uterotonic compds. (oxytocin, ergot alkaloids, sparteine, prostaglandins) is highly effective in inducing abortion. Thus, oral administration of 25 mg RU486, twice daily, for 4 days, followed by a single i.m. administration of 0.25 mg sulprostone induced abortion in all 9 treated pregnant women.

IT **91934-85-9 91934-86-0**
RL: BIOL (Biological study)
(abortion-inducing treatment with uterotonic compds. and)

L12 ANSWER 32 OF 35 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1987:423577 HCAPLUS
DOCUMENT NUMBER: 107:23577
TITLE: Preparation of estradienolone derivatives useful as antiglucocorticoids and antiprogestomimetics,and their pharmaceutical formulation
INVENTOR(S): Torelli, Vesperto; Teutsch, Jean G.; Philibert, Daniel
PATENT ASSIGNEE(S): Roussel-UCLAF , Fr.
SOURCE: U.S., 41 pp. Cont.-in-part of U.S. 4,519,946.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4634695	A	19870106	US 1985-693682	19850122
FR 2497807	A1	19820716	FR 1981-272	19810109

FR 2497807	B1	19830729		
US 4386085	A	19830531	US 1982-338077	19820108
US 4447424	A	19840508	US 1982-386967	19820610
US 4519946	A	19850528	US 1984-614440	19840525
US 4978657	A	19901218	US 1985-810316	19851217
US 5043332	A	19910827	US 1989-421526	19891013

PRIORITY APPLN. INFO.:

FR 1981-272	19810109
US 1982-338077	19820108
US 1982-386967	19820610
US 1984-595267	19840330
US 1984-614440	19840525
FR 1982-10205	19820611
FR 1982-70205	19820611
US 1983-501373	19830606
US 1985-693682	19850122
US 1985-760703	19850730
US 1985-810316	19851217

GI For diagram(s), see printed CA Issue.

AB Title steroids I [R1 = org. radical contg. .gtoreq.1 atom N, P, or Si, and bound at C; R2 = hydrocarbyl; X = residue of (un)substituted (un)satd. 5- or 6-membered ring; A = O or ketal, NOH, NOR3, CH2, H(.beta.-OH), H(.beta.-OR3), H(.beta.-O2CR3); R3 = alkyl, aralkyl; BC = bond, O] are prepd. as antiglucocorticoids, and antiprogestomimetics etc. A soln. of THPOCH2C.tplbond.CH (THP = tetrahydropyranyl) in Et2O was added to a soln. of MeLi in Et2O, and a soln. of 3,3-(1,2-ethanediylbisoxy)-11.beta.-(4-dimethylaminophenyl)-.DELTA.9-estren-5.alpha.-ol-17-one in THF was added to the mixt. The product was worked up, deprotected , extd., and crystd. to give estradienolone II (R = R4 = R5 = Me, R6 = C.tplbond.CCH2OH). Tablets were prepd. from 50 mg II (R = R4 = R5 = Me, R6 = C.tplbond.CMe) (III) and talc, starch, and Mg stearate to 120 mg. III inhibited both the effects of dexamethasone on rat thymocytes (90% inhibition at 10-6 M) and the effect of progesterone on rabbit endometrium, but showed no progestomimetic activity itself.

IT **91934-83-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and deprotection-dehydration of)

IT **91934-85-9P 91934-86-0P 91934-87-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as antiglucocorticoid and antiprogestomimetic)

L12 ANSWER 33 OF 35 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1987:5324 HCAPLUS
DOCUMENT NUMBER: 106:5324
TITLE: 11.beta.-Phenylgonanes and pharmaceutical compositions containing them
INVENTOR(S): Neef, Guenter; Wiechert, Rudolf; Ottow, Eckard; Rohde, Ralph; Beier, Sybille; Elger, Walter; Henderson, David
PATENT ASSIGNEE(S): Schering A.-G. , Fed. Rep. Ger.
SOURCE: Eur. Pat. Appl., 55 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 190759	A2	19860813	EP 1986-101548	19860206
EP 190759	A3	19861120		
EP 190759	B1	19890830		

R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE

DE 3504421	A1	19860807	DE 1985-3504421	19850207
DE 3527517	A1	19870129	DE 1985-3527517	19850729
AT 45956	E	19890915	AT 1986-101548	19860206

PRIORITY APPLN. INFO.: DE 1985-3504421 19850207
DE 1985-3527517 19850729
EP 1986-101548 19860206

OTHER SOURCE(S): CASREACT 106:5324
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB 11.beta.-Phenylgonane derivs. I [Z = O, CH2, bond; X = O, NOH; R1 = 3- or 4-hydrocarbyl contg. C:X; R2 = .alpha.- or .beta.-Me or -Et; R3 and R4 = various group combinations (e.g. R3 or R4 = OH, acyloxy, other = (un)substituted C.tplbond.CH, R3R4 = CH2CH2CO2); R5-8 = H, OH, alkyl, alkoxy, acyloxy, halo] were prepd. as antigestagens and antiglucocorticoids, with a notable dissocn. of the two activities. Thus, 4-BrC6H4Ac was ketalized with Me2C(CH2OH)2, and the ketal was coupled with epoxyestrenol deriv. II by a Cu-catalyzed Grignard reaction. The resulting arylgonane deriv. III (R3 = OH, R4 = H) was oxidized to give III (R3R4 = O), which underwent alkynylation by LiC.tplbond.CMe or LiC.tplbond.CCH2OTHP (THP = 2-tetrahydropyranyl) to give III (R3 = OH, R4 = C.tplbond.CR9, R9 = Me or CH2OTHP). The former was hydrolyzed by aq. HOAc, and the latter was hydrogenated and then hydrolyzed, to give IV (R4 = C.tplbond.CMe) (V) and (Z)-IV (R4 = CH:CHCH2OH) (VI). V and VI showed, resp., 10- and 30-fold the abortifacient activity of the known compd. RU-38486 in gravid rats, while showing 30% and <1% of its antiglucocorticoid activity.

IT **105515-49-9P 105515-63-7P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as antigestagen and antiglucocorticoid)

L12 ANSWER 34 OF 35 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1986:479225 HCAPLUS
DOCUMENT NUMBER: 105:79225
TITLE: 5.alpha.-Hydroxysteroids
INVENTOR(S): Teutsch, Jean G.; Costerousse, Germain; Philibert, Daniel; Deraedt, Roger
PATENT ASSIGNEE(S): Roussel-UCLAF , Fr.
SOURCE: Can., 64 pp. Division of Can. Appl. No. 393,808.
CODEN: CAXXA4
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 1199907	A2	19860128	CA 1984-468274	19841120
FR 2497807	A1	19820716	FR 1981-272	19810109
FR 2497807	B1	19830729		
CA 1193246	A1	19850910	CA 1982-393808	19820108

PRIORITY APPLN. INFO.: FR 1981-272 19810109
CA 1982-393808 19820108

GI For diagram(s), see printed CA Issue.

AB 5.alpha.-Hydroxysteroids I [Z = ketone-blocking group, i.e. ketal, thioketal, oxime, methyloxime: Z1 = remainder of (un)substituted (un)satd. 5- or 6-membered ring; R = C1-8 org. radical contg. .gtoreq.1 atom N, P, or Si; R1 = C1-8 hydrocarbyl] are prepd. by reacting epoxysteroids II with R2CuLi, RMgX (X = halo), or RLi, and if needed, a Cu halide. I are intermediates for steroids III [Z = O, ketal, H(OH), oxime, etc.; Z1, R, R1 = as given; Z2 = bond, O], which are antiglucocorticoids (no data). Thus, Me2S.CuBr was added at 0.degree. to a soln. of Me2N(CH2)3MgCl, followed by 3.70 g epoxyestrenol IV in THF, and the mixt. stirred 3 h and quenched with NH4Cl-ice water to give 2.55 g estrenediol V after chromatog. Hydrolysis of V in MeOH and 2N HCl gave hydroxyestradienone VI. A variety of I (Z = ketal) were similarly prepd. and hydrolyzed.

IT **103374-84-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and hydrolysis and dehydration of)

IT **103374-85-2P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as antiglucocorticoid)

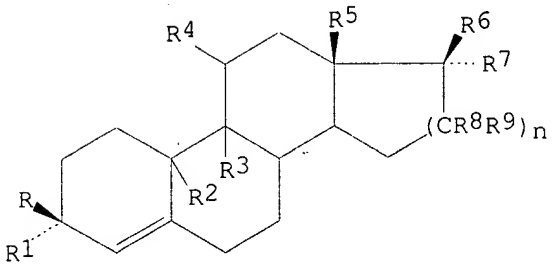
L12 ANSWER 35 OF 35 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1984:530975 HCAPLUS
DOCUMENT NUMBER: 101:130975
TITLE: Steroid derivatives
INVENTOR(S): Teutsch, Jean G.; Costerousse, Germain; Philibert, Daniel; Deraedt, Roger
PATENT ASSIGNEE(S): Roussel-UCLAF , Fr.
SOURCE: U.S., 33 pp. Cont.-in-part of U.S. 4,386,085.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4447424	A	19840508	US 1982-386967	19820610
FR 2497807	A1	19820716	FR 1981-272	19810109
FR 2497807	B1	19830729		
US 4386085	A	19830531	US 1982-338077	19820108
US 4519946	A	19850528	US 1984-614440	19840525
US 4634695	A	19870106	US 1985-693682	19850122
US 4978657	A	19901218	US 1985-810316	19851217
US 5043332	A	19910827	US 1989-421526	19891013

PRIORITY APPLN. INFO.:

FR 1981-272	19810109
US 1982-338077	19820108
US 1982-386967	19820610
FR 1982-10205	19820611
FR 1982-70205	19820611
US 1983-501373	19830606
US 1984-595267	19840330
US 1984-614440	19840525
US 1985-693682	19850122
US 1985-760703	19850730
US 1985-810316	19851217

GI



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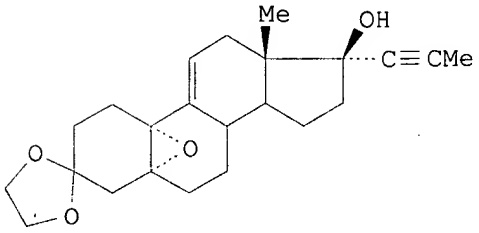

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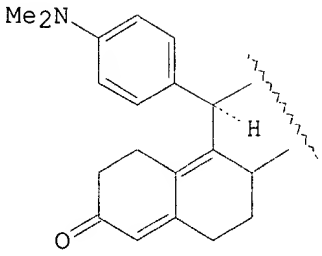

III

AB Antiglucocorticoid and contraceptive norsteroids I [RR1 = O, ketal, HON:, CH2:; R = HO, alkoxy, acyloxy, R1 = H; R2R3 = O, bond; R4 = N-, P- or Si-contg. radical, i.e. pyridyl, dimethylaminoalkyl, 4-(Me2NCH2CH2O)C6H4, pyrrolidinophenyl, etc.; R5 = C1-C8 alkyl; R6, R7 = H, HO, alkoxy, acyloxy, HOCH2CO, HO2CCO, alkylcarbamoyl, etc.; R8, R9 = HO, H, alkyl aralkyl; n = 1, 2; optional 16-unsatd.] were prepd. by ring cleavage of epoxyestrene derivs. by Grignard reagents. Thus, treatment of epoxypropynylestrene II with 4-(Me2N)C6H4MgBr in THF contg. CuBr-Me2S complex and subsequent acid hydrolysis gave (aminophenyl)propynylestradien e III. At 10 mg/kg/day for 3 days in female rats III inhibited implantation 100g, whereas at 500 .mu.g/animal in the rabbit III was devoid of progestomimetic activity.

IT **91934-84-8P 91934-85-9P 91934-86-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and antiglucocorticoid and contraceptive activities of)

IT **91934-83-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and hydrolysis of)

IT **91934-87-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

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USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907-1966
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE

display formats.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

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L13           0 L11

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FILE 'REGISTRY' ENTERED AT 11:14:19 ON 12 JUN 2002
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STRUCTURE FILE UPDATES:   10 JUN 2002  HIGHEST RN 428438-29-3
DICTIONARY FILE UPDATES:  10 JUN 2002  HIGHEST RN 428438-29-3

TSCA INFORMATION NOW CURRENT THROUGH January 7, 2002
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Crossover limits have been increased.  See HELP CROSSOVER for details.

Calculated physical property data is now available.  See HELP PROPERTIES
for more information.  See STNote 27, Searching Properties in the CAS
Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

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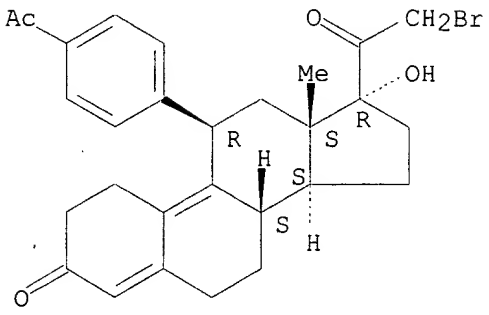
L11 ANSWER 1 OF 74 REGISTRY COPYRIGHT 2002 ACS
RN 365416-33-7 REGISTRY
CN 19-Norpregna-4,9-diene-3,20-dione, 11-(4-acetylphenyl)-21-bromo-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C28 H31 Br O4
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.



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1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 135:304062

L11 ANSWER 5 OF 74 REGISTRY COPYRIGHT 2002 ACS
RN 226212-33-5 REGISTRY
CN Estra-1,3,5(10)-triene-3,17-diol, 11-[4-[3-(1-piperidinyl)propyl]phenyl]-17-(trifluoromethyl)-, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)
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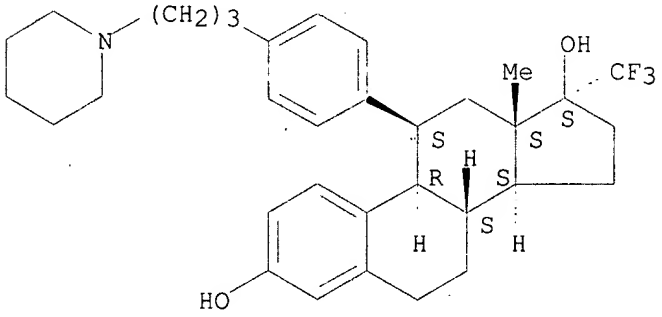
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.



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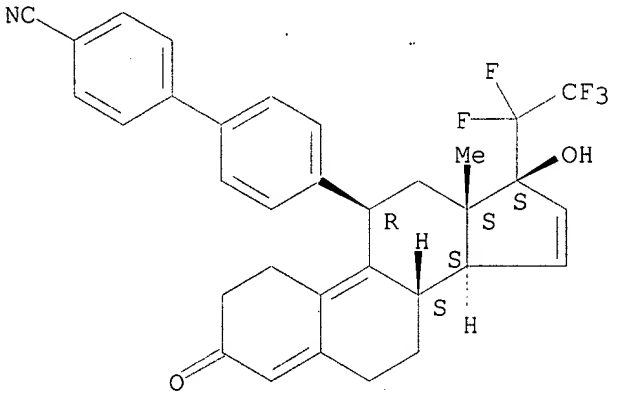
REFERENCE 1: 131:19183

L11 ANSWER 10 OF 74 REGISTRY COPYRIGHT 2002 ACS
RN 211255-01-5 REGISTRY
CN [1,1'-Biphenyl]-4-carbonitrile, 4'-[(11.beta.,17.alpha.)-20,20,21,21,21-pentafluoro-17-hydroxy-3-oxo-19-norpregna-4,9,15-trien-11-yl]- (9CI) (CA

INDEX NAME)
FS STEREOSEARCH
MF C33 H28 F5 N O2
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



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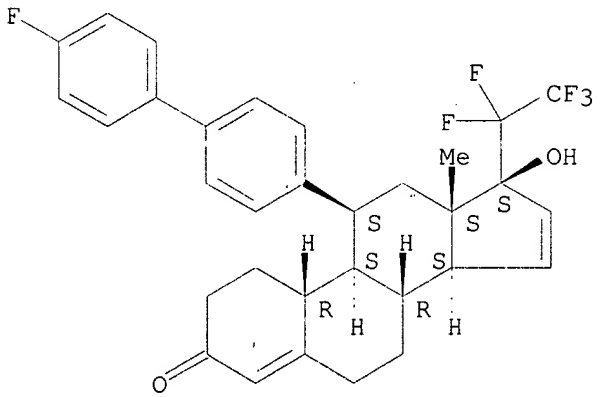
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:161760

L11 ANSWER 15 OF 74 REGISTRY COPYRIGHT 2002 ACS
RN 211254-96-5 REGISTRY
CN 19-Norpregna-4,15-dien-3-one, 20,20,21,21,21-pentafluoro-11-(4'-fluoro[1,1'-biphenyl]-4-yl)-17-hydroxy-, (11.beta.,17.alpha.)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C32 H30 F6 O2
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



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1 REFERENCES IN FILE CA (1967 TO DATE)
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REFERENCE 1: 129:161760

L11 ANSWER 20 OF 74 REGISTRY COPYRIGHT 2002 ACS
RN 211254-91-0 REGISTRY
CN [1,1'-Biphenyl]-4-carbonitrile, 4'-[(11.beta.,17.alpha.)-20,20,21,21,21-pentafluoro-17-hydroxy-3-oxo-19-norpregn-4-en-11-yl]- (9CI) (CA INDEX NAME)
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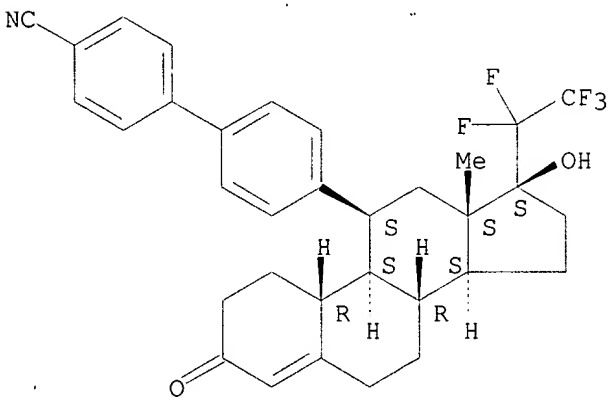
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



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1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:161760

L11 ANSWER 25 OF 74 REGISTRY COPYRIGHT 2002 ACS
RN 211254-80-7 REGISTRY
CN 19-Norpregn-5-en-3-one, 20,20,21,21,21-pentafluoro-17-hydroxy-11-[4-[[(nonafluorobutyl)sulfonyl]oxy]phenyl]-, cyclic 1,2-ethanediyl acetal, (11.beta.,17.alpha.)- (9CI) (CA INDEX NAME)
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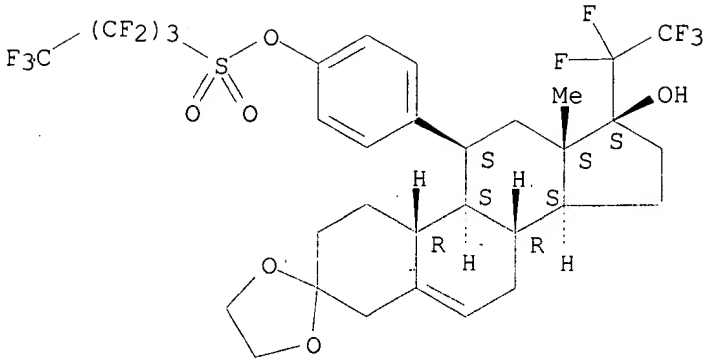
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:161760

L11 ANSWER 30 OF 74 REGISTRY COPYRIGHT 2002 ACS
RN 210629-60-0 REGISTRY
CN 19-Norpregn-9-en-20-yn-3-one, 21-chloro-11-[4-(1,1-dimethylethyl)phenyl]-5,16,17-trihydroxy-, cyclic 1,2-ethanediyl acetal, (5.alpha.,11.beta.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)
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MF C32 H41 Cl O5
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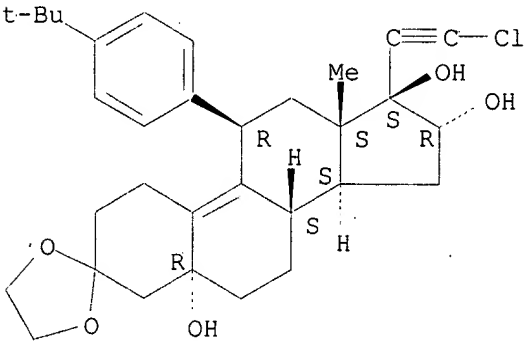
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

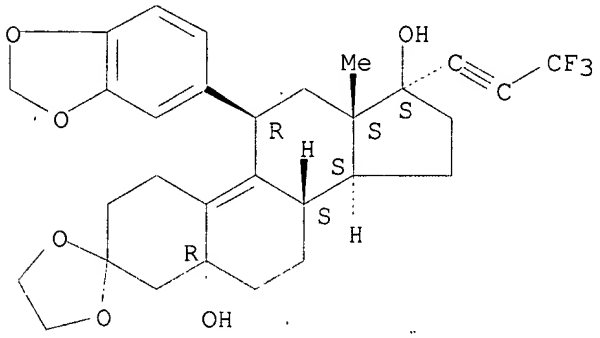
1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:136357

L11 ANSWER 35 OF 74 REGISTRY COPYRIGHT 2002 ACS
RN 189035-39-0 REGISTRY

CN Estr-9-en-3-one, 11-(1,3-benzodioxol-5-yl)-5,17-dihydroxy-17-(3,3,3-trifluoro-1-propynyl)-, cyclic 1,2-ethanediyl acetal, (5.alpha.,11.beta.,17.beta.)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C30 H33 F3 O6
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



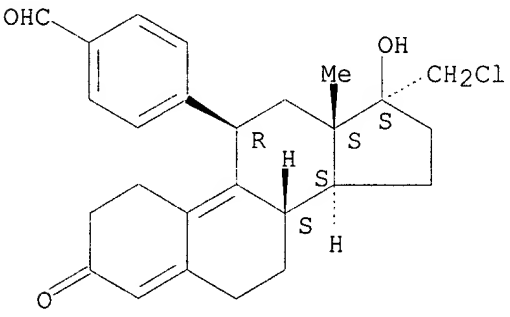
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 126:293493

L11 ANSWER 40 OF 74 REGISTRY COPYRIGHT 2002 ACS
RN 164655-94-1 REGISTRY
CN Benzaldehyde, 4-[(11.beta.,17.beta.)-17-(chloromethyl)-17-hydroxy-3-oxoestra-4,9-dien-11-yl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C26 H29 Cl O3
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1967 TO DATE)

3 REFERENCES IN FILE CAPLUS (1967 TO DATE)

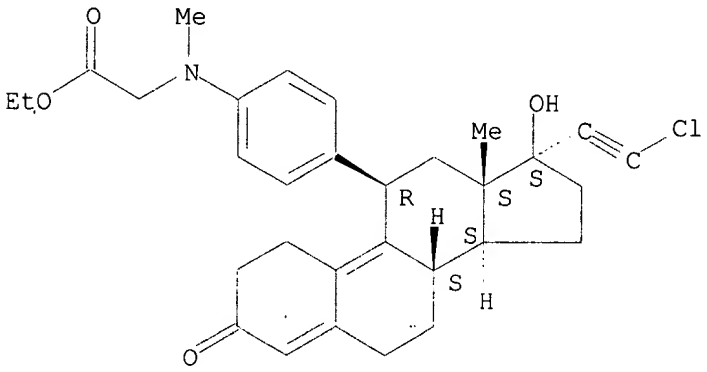
REFERENCE 1: 131:185132

REFERENCE 2: 128:61679

REFERENCE 3: 123:56389

L11 ANSWER 45 OF 74 REGISTRY COPYRIGHT 2002 ACS
RN 134395-46-3 REGISTRY
CN Glycine, N-[4-[(11.beta.,17.alpha.)-21-chloro-17-hydroxy-3-oxo-19-norpregna-4,9-dien-20-yn-11-yl]phenyl]-N-methyl-, ethyl ester (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 19-Norpregnane, glycine deriv.
FS STEREOSEARCH
MF C31 H36 Cl N O4
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

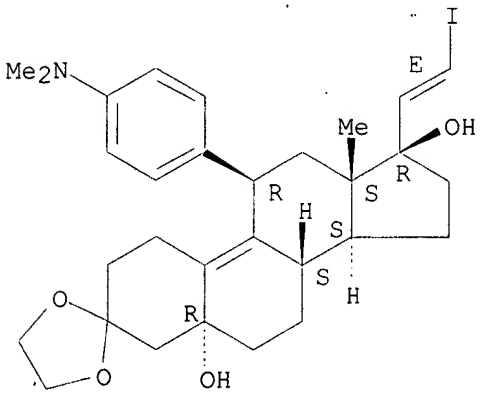
2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 115:151901

REFERENCE 2: 115:9125

L11 ANSWER 50 OF 74 REGISTRY COPYRIGHT 2002 ACS
RN 124481-44-3 REGISTRY
CN 19-Norpregna-9,20-dien-3-one, 11-[4-(dimethylamino)phenyl]-5,17-dihydroxy-21-iodo-, cyclic 1,2-ethanediyl acetal, (5.alpha.,11.beta.,17.alpha.,20E)-(9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Spiro[3H-cyclopenta[a]phenanthrene-3,2'-[1,3]dioxolane], 19-norpregna-9,20-dien-3-one deriv.
FS STEREOSEARCH
MF C30 H40 I N O4
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.
Double bond geometry as shown.



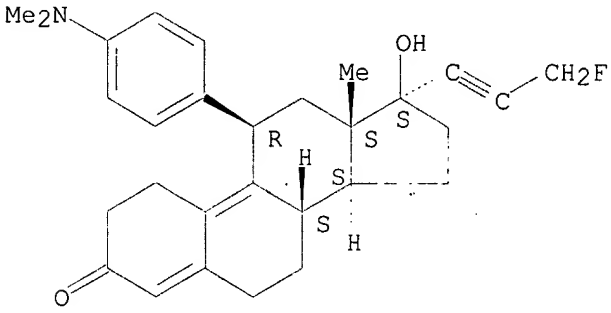
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 112:36259

L11 ANSWER 55 OF 74 REGISTRY COPYRIGHT 2002 ACS
RN 124478-57-5 REGISTRY
CN Estra-4,9-dien-3-one, 11-[4-(dimethylamino)phenyl]-17-(3-fluoro-1-propynyl)-17-hydroxy-, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C29 H34 F N O2
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

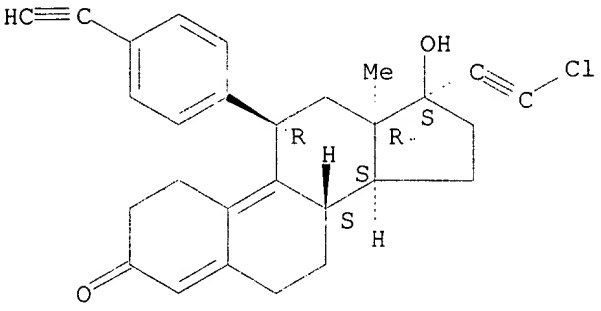
1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 112:36259

L11 ANSWER 60 OF 74 REGISTRY COPYRIGHT 2002 ACS

RN 116501-86-1 REGISTRY
CN 19-Norpregna-4,9-dien-20-yn-3-one, 21-chloro-11-(4-ethynylphenyl)-17-hydroxy-, (11.beta.,13.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C28 H27 Cl O2
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



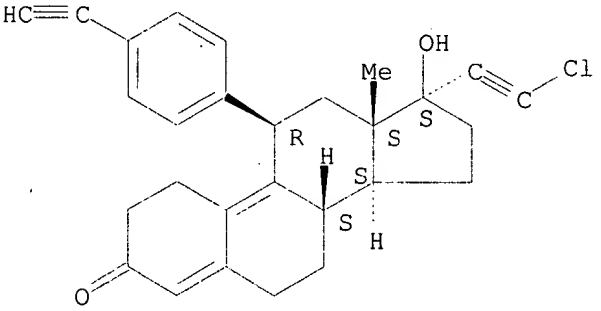
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 109:129463

L11 ANSWER 65 OF 74 REGISTRY COPYRIGHT 2002 ACS
RN 116421-67-1 REGISTRY
CN 19-Norpregna-4,9-dien-20-yn-3-one, 21-chloro-11-(4-ethynylphenyl)-17-hydroxy-, (11.beta.,17.alpha.)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C28 H27 Cl O2
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



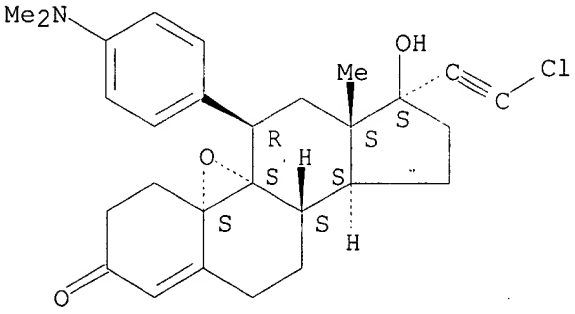
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 109:129463

L11 ANSWER 70 OF 74 REGISTRY COPYRIGHT 2002 ACS
RN 91934-87-1 REGISTRY
CN 19-Norpregn-4-en-20-yn-3-one, 21-chloro-11-[4-(dimethylamino)phenyl]-9,10-epoxy-17-hydroxy-, (10.alpha.,11.beta.,17.alpha.)- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 9,10-Epoxy-3H-cyclopenta[a]phenanthrene, 19-norpregn-4-en-20-yn-3-one deriv.
FS STEREOSEARCH
MF C28 H32 Cl N O3
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

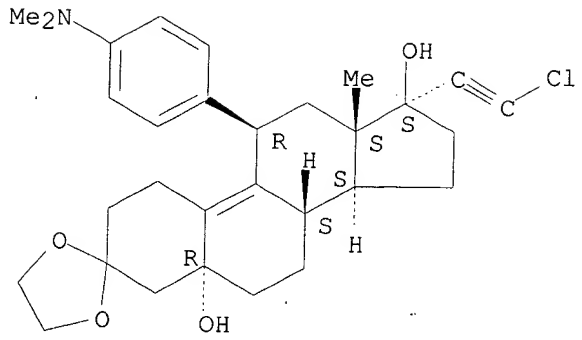
2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 107:23577

REFERENCE 2: 101:130975

L11 ANSWER 74 OF 74 REGISTRY COPYRIGHT 2002 ACS
RN 91934-83-7 REGISTRY
CN 19-Norpregn-9-en-20-yn-3-one, 21-chloro-11-[4-(dimethylamino)phenyl]-5,17-dihydroxy-, cyclic 1,2-ethanediyl acetal, (5.alpha.,11.beta.,17.alpha.)- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Spiro[3H-cyclopenta[a]phenanthrene-3,2'-[1,3]dioxolane], 19-norpregn-9-en-20-yn-3-one deriv.
FS STEREOSEARCH
MF C30 H38 Cl N O4
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 107:23577

REFERENCE 2: 101:130975